Latest

10690708.trn

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1626GMS

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	OCT 23	The Derwent World Patents Index suite of databases on STN has been enhanced and reloaded
NEWS 4	OCT 30	CHEMLIST enhanced with new search and display field
NEWS 5	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 6	NOV 10	CA/CAplus F-Term thesaurus enhanced
NEWS 7	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 8	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 9	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 10	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS 11	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS 12	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 13	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 14	DEC 18	CA/CAplus patent kind codes updated
NEWS 15	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 16	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS 17	DEC 27	CA/CAplus enhanced with more pre-1907 records
NEWS 18	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 20	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 21	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 22	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 23	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 24	JAN 29	PHAR reloaded with new search and display fields
NEWS 25	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 26	FEB 13	CASREACT coverage to be extended
NEWS 27	Feb 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 28	Feb 15	RUSSIAPAT enhanced with pre-1994 records
NEWS 29	Feb 23	KOREAPAT enhanced with IPC 8 features and functionality
NEWS 30	Feb 26	MEDLINE reloaded with enhancements
NEWS 31	Feb 26	EMBASE enhanced with Clinical Trial Number field
NEWS 32	Feb 26	TOXCENTER enhanced with reloaded MEDLINE
NEWS 33	Feb 26	IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 34	Feb 26	CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT

MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
NEWS X25	X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 12:43:35 ON 13 MAR 2007

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.84	0.84

FILE 'REGISTRY' ENTERED AT 12:45:37 ON 13 MAR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAR 2007 HIGHEST RN 926069-79-6
DICTIONARY FILE UPDATES: 12 MAR 2007 HIGHEST RN 926069-79-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

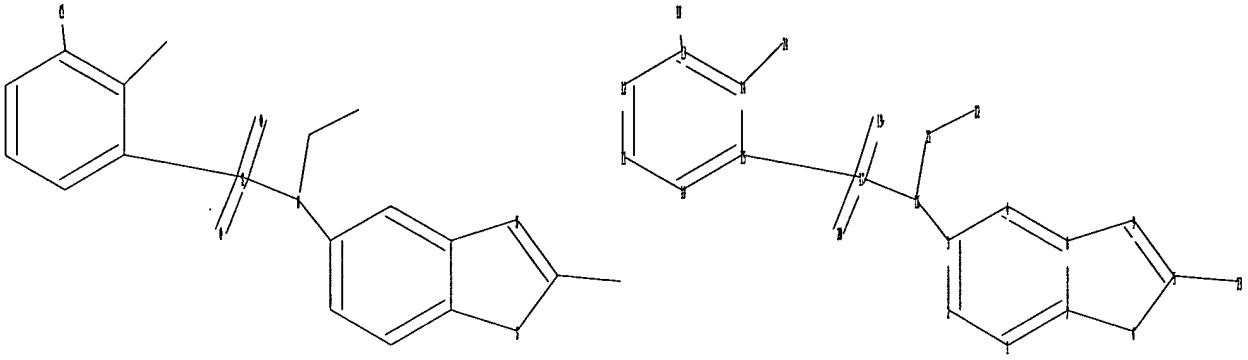
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10690708.str

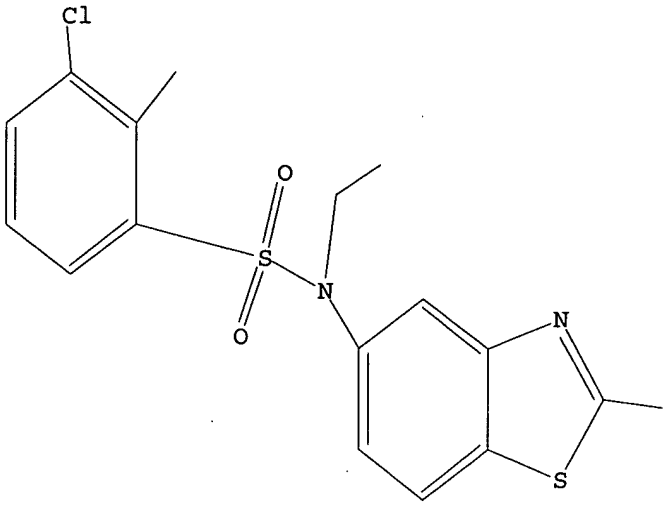


chain nodes :
16 17 18 19 20 21 22 23 24
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
3-16 5-23 13-18 14-24 15-17 16-17 16-21 17-19 17-20 21-22
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
3-16 5-9 8-9 15-17 16-17 16-21 17-19 17-20
exact bonds :
5-6 5-23 6-7 13-18 14-24 21-22
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 12:45:53 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        1 TO ITERATE

100.0% PROCESSED         1 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            1 TO       80
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:46:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       50 TO ITERATE

100.0% PROCESSED        50 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

L3             1 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   172.10     172.94

FILE 'HCAPLUS' ENTERED AT 12:46:06 ON 13 MAR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
```

Copyright of the articles to which records in this database refer is

held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 13 Mar 2007 VOL 146 ISS 12
FILE LAST UPDATED: 11 Mar 2007 (20070311/ED)

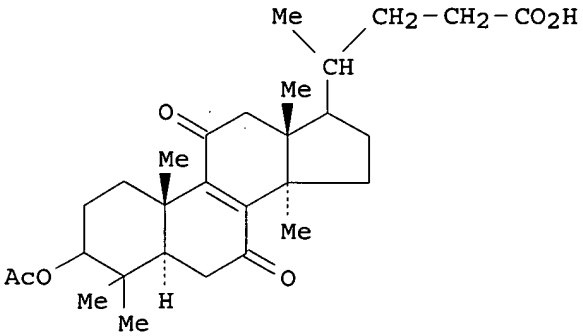
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 3 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:476237 HCAPLUS
DOCUMENT NUMBER: 145:137256
TITLE: The Discovery of New 11β-Hydroxysteroid Dehydrogenase Type 1 Inhibitors by Common Feature Pharmacophore Modeling and Virtual Screening
AUTHOR(S): Schuster, Daniela; Maurer, Evelyne M.; Laggner, Christian; Nashev, Lyubomir G.; Wilckens, Thomas; Langer, Thierry; Odermatt, Alex
CORPORATE SOURCE: Institute of Pharmacy, Department of Pharmaceutical Chemistry, University of Innsbruck, Innsbruck, 6020, Austria
SOURCE: Journal of Medicinal Chemistry (2006), 49(12), 3454-3466
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

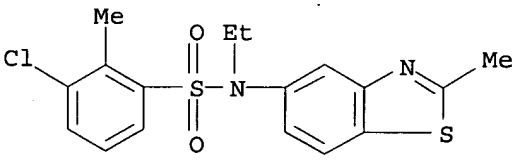
AB 11β-Hydroxysteroid dehydrogenase (11β-HSD) enzymes catalyze the conversion of biol. inactive 11-ketosteroids into their active

11β-hydroxy derivs. and vice versa. Inhibition of 11β-HSD1 has considerable therapeutic potential for glucocorticoid-associated diseases including obesity, diabetes, wound healing, and muscle atrophy. Because inhibition of related enzymes such as 11β-HSD2 and 17β-HSDs causes sodium retention and hypertension or interferes with sex steroid hormone metabolism, resp., highly selective 11β-HSD1 inhibitors are required for successful therapy. Here, the authors employed the software package Catalyst to develop ligand-based multifeature pharmacophore models for 11β-HSD1 inhibitors. Virtual screening expts. and subsequent in vitro evaluation of promising hits revealed several selective inhibitors. Efficient inhibition of recombinant human 11β-HSD1 in intact transfected cells as well as endogenous enzyme in mouse 3T3-L1 adipocytes and C2C12 myotubes was demonstrated for compound (I), which was able to block subsequent cortisol-dependent activation of glucocorticoid receptors with only minor direct effects on the receptor itself. Our results suggest that inhibitor-based pharmacophore models for 11β-HSD1 in combination with suitable cell-based activity assays, including such for related enzymes, can be used for the identification of selective and potent inhibitors.

IT 686746-36-1
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(discovery of new hydroxysteroid dehydrogenase inhibitors by common feature pharmacophore modeling and virtual screening)

RN 686746-36-1 HCAPLUS

CN Benzenesulfonamide, 3-chloro-N-ethyl-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:261388 HCAPLUS
DOCUMENT NUMBER: 144:460298
TITLE: Benzothiazole derivatives as novel inhibitors of human 11β-hydroxysteroid dehydrogenase type 1
AUTHOR(S): Su, Xiangdong; Vicker, Nigel; Ganeshapillai, Dharshini; Smith, Andrew; Purohit, Atul; Reed, Michael J.; Potter, Barry V. L.
CORPORATE SOURCE: Medicinal Chemistry, Department of Pharmacy and Pharmacology and Sterix Ltd., University of Bath, Bath, BA2 7AY, UK
SOURCE: Molecular and Cellular Endocrinology (2006), 248(1-2), 214-217
CODEN: MCEND6; ISSN: 0303-7207
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

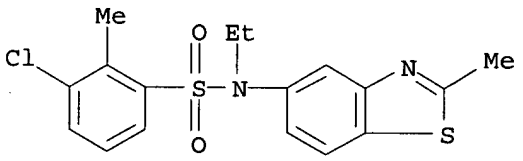
AB Selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1) have considerable potential as treatments for metabolic diseases, such as diabetes mellitus type 2 or obesity. Here, we report

the discovery and synthesis of a series of novel benzothiazole derivs. and their inhibitory activities against 11β-HSD1 from human hepatic microsomes measured using a RIA method. The benzothiazole derivs. 1 and 2 showed greater than 80% inhibition for 11β-HSD1 at 10 μM and exhibited IC50 values in the low micromolar range. The preliminary SAR study suggested the introduction of a chlorine substituent at the 4 position of the benzothiazole ring greatly enhanced the inhibitory activities. Docking studies with the benzothiazole derivative 1 into the crystal structure of human 11β-HSD1 revealed how the mol. may interact with the enzyme and cofactor.

IT 686746-36-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzothiazole derivs. as inhibitors of human 11β-hydroxysteroid dehydrogenase type 1)

RN 686746-36-1 HCAPLUS

CN Benzenesulfonamide, 3-chloro-N-ethyl-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:368925 HCAPLUS

DOCUMENT NUMBER: 140:391280

TITLE: Preparation of arylsulfonylbenzazoles as inhibitors of 11-β-hydroxy steroid dehydrogenase type 1 and type 2.

INVENTOR(S): Vicker, Nigel; Su, Xiangdong; Ganeshapillai, Dharshini; Purohit, Atul; Reed, Michael John; Potter, Barry Victor Lloyd

PATENT ASSIGNEE(S): Sterix Limited, UK

SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037251	A1	20040506	WO 2003-GB4590	20031023

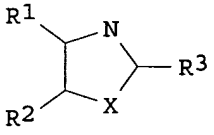
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,

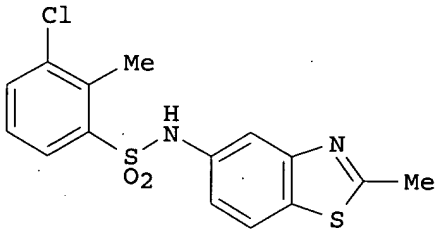
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2501228		A1	20040506	CA 2003-2501228		20031023
AU 2003274373		A1	20040513	AU 2003-274373		20031023
US 2004143124		A1	20040722	US 2003-690708		20031023
EP 1556040		A1	20050727	EP 2003-758357		20031023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2003015605		A	20050830	BR 2003-15605		20031023
CN 1723022		A	20060118	CN 2003-80105509		20031023
JP 2006514614		T	20060511	JP 2004-546183		20031023
NO 2005002469		A	20050722	NO 2005-2469		20050523
PRIORITY APPLN. INFO.:				GB 2002-24830	A	20021024
				US 2002-436635P	P	20021230
				WO 2003-GB4590	W	20031023

OTHER SOURCE(S): MARPAT 140:391280

GI



I



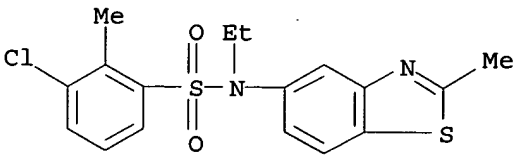
II

AB Title compds. [I; 1 of R1, R2 = R5SO2N(R4)L; R4 = H, hydrocarbyl; R5 = hydrocarbyl; L = optional linker group; R1R2 = atoms form a ring; X = S, O, NR6, C(R7)(R8); R6-R8 = H, hydrocarbyl], were prepared Thus, title compound (II) inhibited 11β-HSD1 with IC50 = 6.6 μM.

IT 686746-36-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoles, benzothiazoles, benzoxazoles, and benzimidazoles as inhibitors of hydroxy steroid dehydrogenase)

RN 686746-36-1 HCAPLUS

CN Benzenesulfonamide, 3-chloro-N-ethyl-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	31.41	204.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION

CA SUBSCRIBER PRICE -2.34 -2.34

FILE 'REGISTRY' ENTERED AT 12:49:59 ON 13 MAR 2007

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAR 2007 HIGHEST RN 926069-79-6
DICTIONARY FILE UPDATES: 12 MAR 2007 HIGHEST RN 926069-79-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

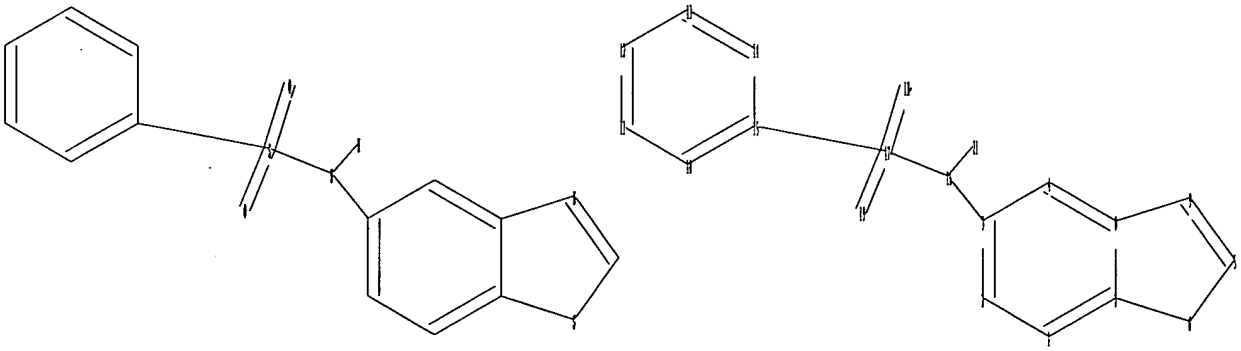
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10690708a.str



chain nodes :
16 17 18 19 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
3-16 15-17 16-17 16-21 17-18 17-19
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
3-16 5-9 8-9 15-17 16-17 17-18 17-19
exact bonds :
5-6 6-7 16-21

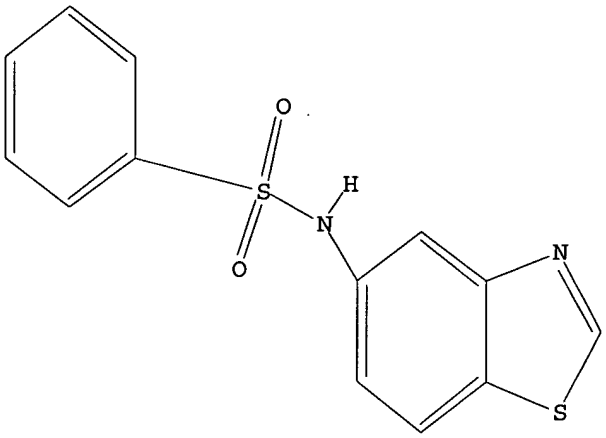
10690708.trn

normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS
21:CLASS

L5 STRUCTURE UPLOADED

=> d l5
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5
SAMPLE SEARCH INITIATED 12:50:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 33 TO 447
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 12:50:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 296 TO ITERATE

100.0% PROCESSED 296 ITERATIONS 52 ANSWERS

10690708.trn

SEARCH TIME: 00.00.02

L7 52 SEA SSS FUL L5

=> FIL HCAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	376.45

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.34

FILE 'HCAPLUS' ENTERED AT 12:50:33 ON 13 MAR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 13 Mar 2007 VOL 146 ISS 12
FILE LAST UPDATED: 11 Mar 2007 (20070311/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 8 L7

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	15.60	392.05

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.34

FILE 'REGISTRY' ENTERED AT 12:54:09 ON 13 MAR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 12 MAR 2007 HIGHEST RN 926069-79-6
DICTIONARY FILE UPDATES: 12 MAR 2007 HIGHEST RN 926069-79-6

10690708.trn

New CAS Information Use Policies, enter HELP USAGETERMS for details.

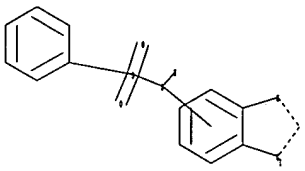
TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

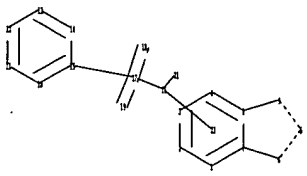
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10690708b.str





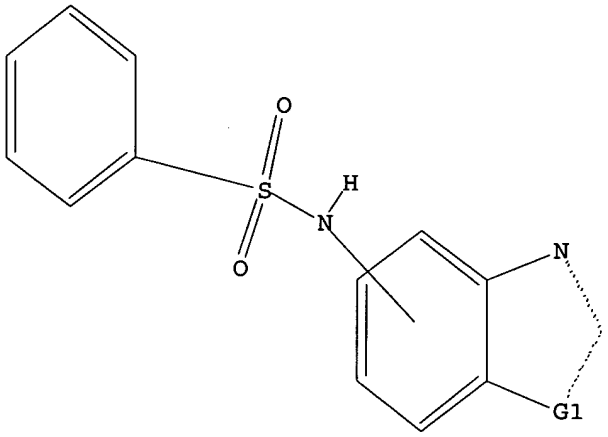
chain nodes :
16 17 18 19 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
chain bonds :
15-17 16-21 16-17 17-18 17-19
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14 14-15
exact/norm bonds :
5-6 5-9 6-7 8-9 15-17 16-21 16-17 17-18 17-19
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :

G1:O,S,N,CH2,NH

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS 18:CLASS 19:CLASS 21:CLASS 23:Atom

L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR



G1 O,S,N,CH2,NH

Structure attributes must be viewed using STN Express query preparation.

=> s l9
SAMPLE SEARCH INITIATED 12:54:27 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5559 TO ITERATE

36.0% PROCESSED 2000 ITERATIONS 32 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 106710 TO 115650
PROJECTED ANSWERS: 1213 TO 2343

L10 32 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 12:54:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 114915 TO ITERATE

100.0% PROCESSED 114915 ITERATIONS 1585 ANSWERS
SEARCH TIME: 00.00.01

L11 1585 SEA SSS FUL L9

=> FIL HCAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	564.15

```
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)        SINCE FILE      TOTAL
                                                     ENTRY    SESSION
CA SUBSCRIBER PRICE                                   0.00      -2.34

FILE 'HCAPLUS' ENTERED AT 12:54:48 ON 13 MAR 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)
```



```
FILE COVERS 1907 - 13 Mar 2007  VOL 146 ISS 12
FILE LAST UPDATED: 11 Mar 2007  (20070311/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> d his

     (FILE 'HOME' ENTERED AT 12:43:35 ON 13 MAR 2007)

     FILE 'REGISTRY' ENTERED AT 12:45:37 ON 13 MAR 2007
L1             STRUCTURE UPLOADED
L2           0 S L1
L3           1 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 12:46:06 ON 13 MAR 2007
L4           3 S L3

     FILE 'REGISTRY' ENTERED AT 12:49:59 ON 13 MAR 2007
L5             STRUCTURE UPLOADED
L6           0 S L5
L7          52 S L5 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 12:50:33 ON 13 MAR 2007
L8           8 S L7

     FILE 'REGISTRY' ENTERED AT 12:54:09 ON 13 MAR 2007
L9             STRUCTURE UPLOADED
L10         32 S L9
L11       1585 S L9 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 12:54:48 ON 13 MAR 2007

=> s l11
L12        184 L11

=> s l12 and py<=2002
      22869925 PY<=2002
```

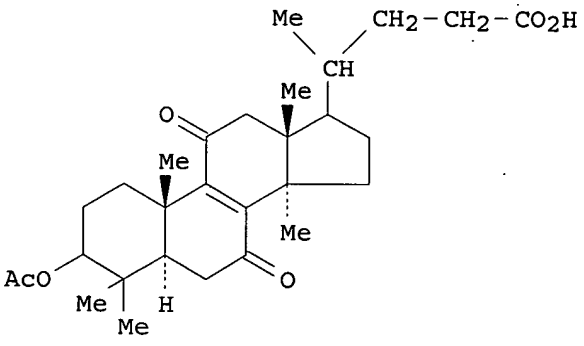
L13 134 L12 AND PY<=2002

=> s l13 and us/pc
1643942 US/PC
L14 39 L13 AND US/PC

=> s l14 and p/dt
5633762 P/DT
L15 39 L14 AND P/DT

=> d l8 ibib abs hitstr tot

L8 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:476237 HCAPLUS
DOCUMENT NUMBER: 145:137256
TITLE: The Discovery of New 11β-Hydroxysteroid Dehydrogenase Type 1 Inhibitors by Common Feature Pharmacophore Modeling and Virtual Screening
AUTHOR(S): Schuster, Daniela; Maurer, Evelyne M.; Laggner, Christian; Nashev, Lyubomir G.; Wilckens, Thomas; Langer, Thierry; Odermatt, Alex
CORPORATE SOURCE: Institute of Pharmacy, Department of Pharmaceutical Chemistry, University of Innsbruck, Innsbruck, 6020, Austria
SOURCE: Journal of Medicinal Chemistry (2006), 49(12), 3454-3466
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



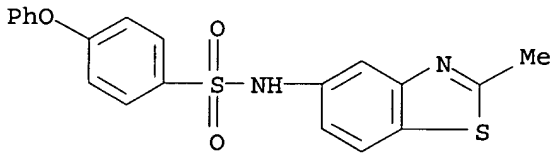
I

AB 11β-Hydroxysteroid dehydrogenase (11β-HSD) enzymes catalyze the conversion of biol. inactive 11-ketosteroids into their active 11β-hydroxy derivs. and vice versa. Inhibition of 11β-HSD1 has considerable therapeutic potential for glucocorticoid-associated diseases including obesity, diabetes, wound healing, and muscle atrophy. Because inhibition of related enzymes such as 11β-HSD2 and 17β-HSDs causes sodium retention and hypertension or interferes with sex steroid hormone metabolism, resp., highly selective 11β-HSD1 inhibitors are required for successful therapy. Here, the authors employed the software package Catalyst to develop ligand-based multifeature pharmacophore models for 11β-HSD1 inhibitors. Virtual screening expts. and subsequent in vitro evaluation of promising hits revealed several selective inhibitors.

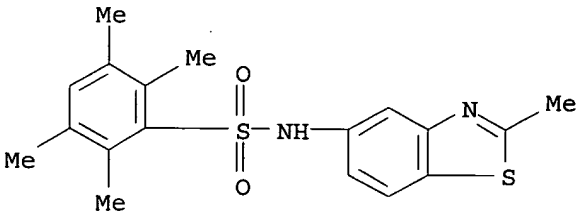
Efficient inhibition of recombinant human 11β-HSD1 in intact transfected cells as well as endogenous enzyme in mouse 3T3-L1 adipocytes and C2C12 myotubes was demonstrated for compound (I), which was able to block subsequent cortisol-dependent activation of glucocorticoid receptors with only minor direct effects on the receptor itself. Our results suggest that inhibitor-based pharmacophore models for 11β-HSD1 in combination with suitable cell-based activity assays, including such for related enzymes, can be used for the identification of selective and potent inhibitors.

IT 670272-62-5 671200-96-7 686746-30-5
686746-31-6 686746-32-7 686746-33-8
686746-34-9 686746-37-2 686746-38-3
686746-39-4 686746-40-7 686746-41-8
686746-44-1 686746-45-2 686746-47-4
686746-48-5 686746-49-6 686746-50-9
686746-51-0 686746-52-1 686746-53-2
686746-54-3 686746-55-4 686746-56-5
686746-57-6 686746-58-7 686746-59-8
686746-70-3 686746-71-4 686746-82-7
686746-87-2
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(discovery of new hydroxysteroid dehydrogenase inhibitors by common feature pharmacophore modeling and virtual screening)

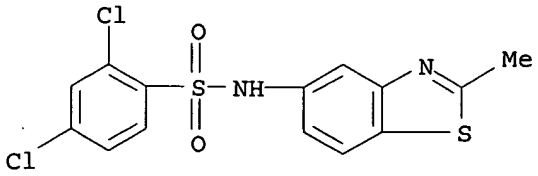
RN 670272-62-5 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-4-phenoxy- (9CI) (CA INDEX NAME)



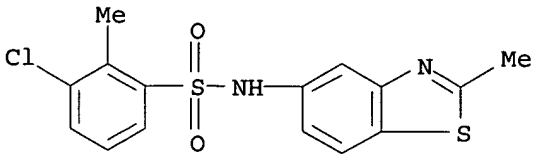
RN 671200-96-7 HCAPLUS
CN Benzenesulfonamide, 2,3,5,6-tetramethyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



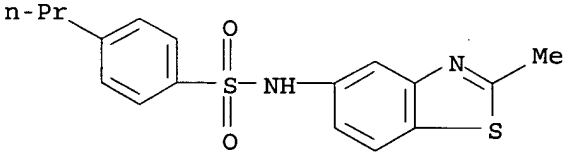
RN 686746-30-5 HCAPLUS
CN Benzenesulfonamide, 2,4-dichloro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



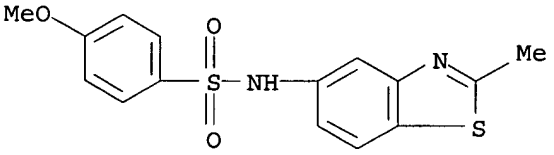
RN 686746-31-6 HCAPLUS
CN Benzenesulfonamide, 3-chloro-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



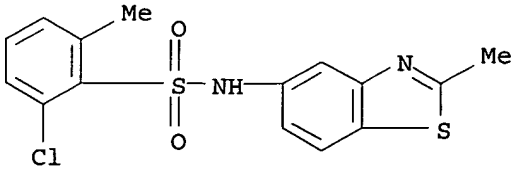
RN 686746-32-7 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-4-propyl- (9CI) (CA INDEX NAME)



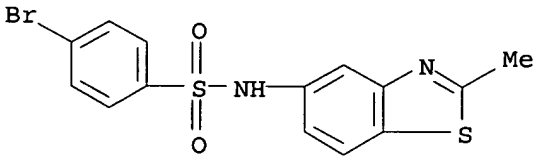
RN 686746-33-8 HCAPLUS
CN Benzenesulfonamide, 4-methoxy-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



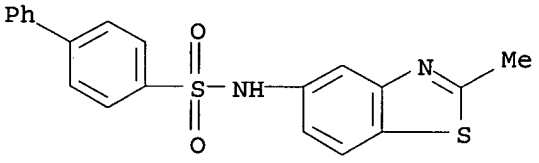
RN 686746-34-9 HCAPLUS
CN Benzenesulfonamide, 2-chloro-6-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



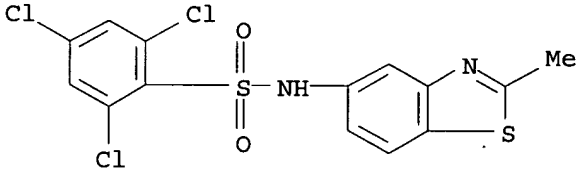
RN 686746-37-2 HCAPLUS
CN Benzenesulfonamide, 4-bromo-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



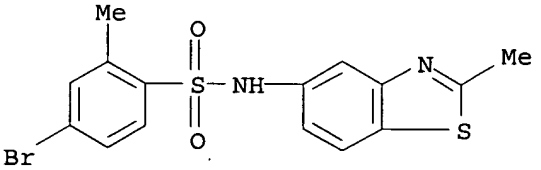
RN 686746-38-3 HCAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



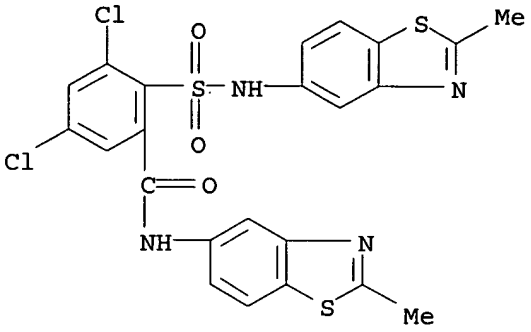
RN 686746-39-4 HCAPLUS
CN Benzenesulfonamide, 2,4,6-trichloro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



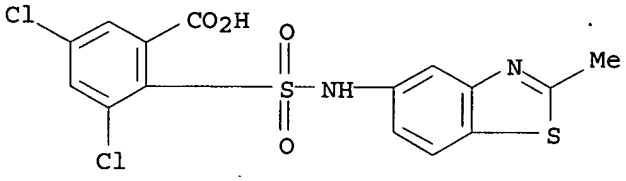
RN 686746-40-7 HCAPLUS
CN Benzenesulfonamide, 4-bromo-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



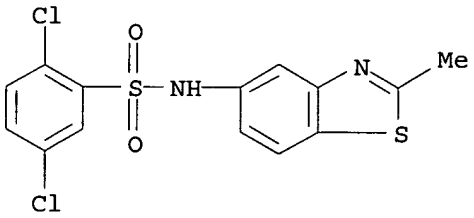
RN 686746-41-8 HCAPLUS
CN Benzamide, 3,5-dichloro-N-(2-methyl-5-benzothiazolyl)-2-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



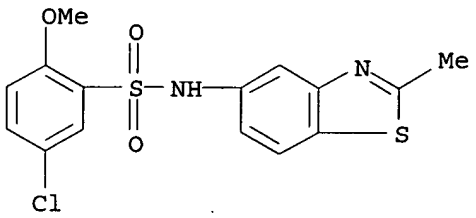
RN 686746-44-1 HCAPLUS
CN Benzoic acid, 3,5-dichloro-2-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 686746-45-2 HCAPLUS
CN Benzenesulfonamide, 2,5-dichloro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)

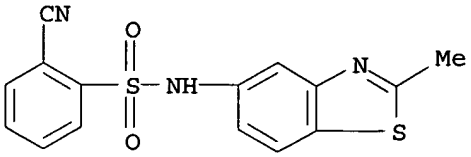


RN 686746-47-4 HCAPLUS
CN Benzenesulfonamide, 5-chloro-2-methoxy-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)

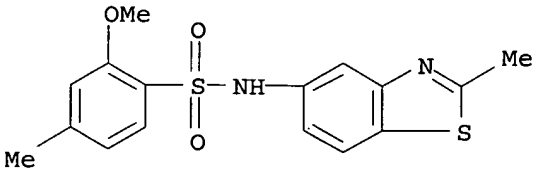


RN 686746-48-5 HCAPLUS
CN Benzenesulfonamide, 2-cyano-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA

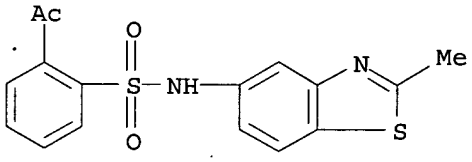
INDEX NAME)



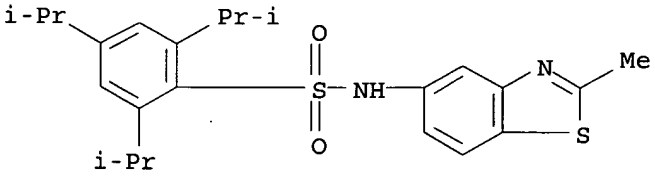
RN 686746-49-6 HCAPLUS
CN Benzenesulfonamide, 2-methoxy-4-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



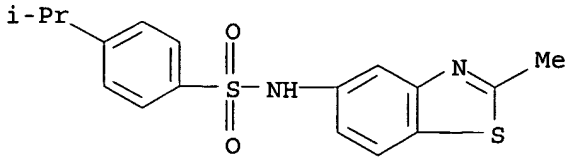
RN 686746-50-9 HCAPLUS
CN Benzenesulfonamide, 2-acetyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



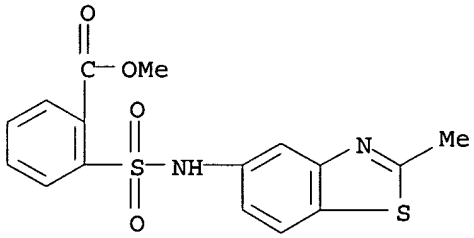
RN 686746-51-0 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-2,4,6-tris(1-methylethyl)- (9CI) (CA INDEX NAME)



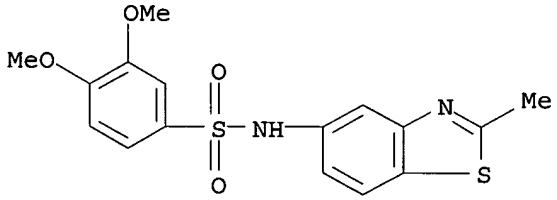
RN 686746-52-1 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



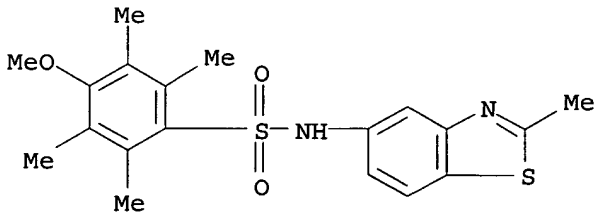
RN 686746-53-2 HCAPLUS
CN Benzoic acid, 2-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



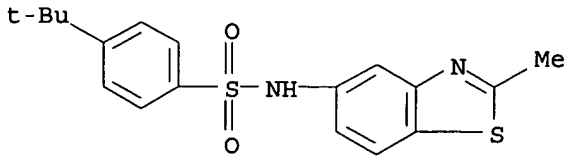
RN 686746-54-3 HCAPLUS
CN Benzenesulfonamide, 3,4-dimethoxy-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



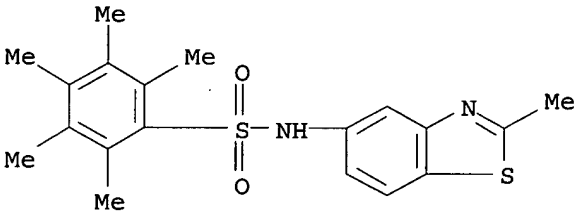
RN 686746-55-4 HCAPLUS
CN Benzenesulfonamide, 4-methoxy-2,3,5,6-tetramethyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



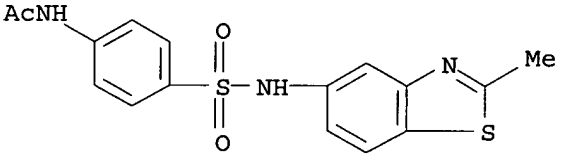
RN 686746-56-5 HCAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



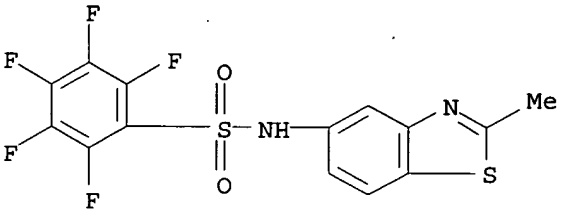
RN 686746-57-6 HCAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentamethyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



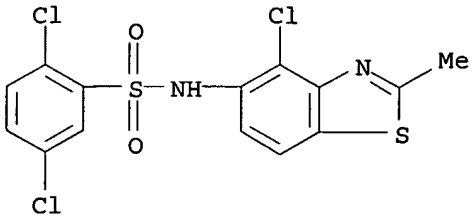
RN 686746-58-7 HCAPLUS
CN Acetamide, N-[4-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



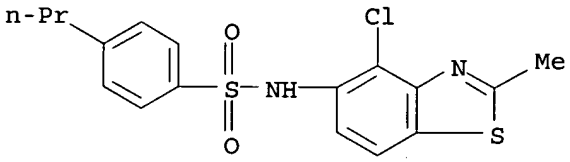
RN 686746-59-8 HCAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



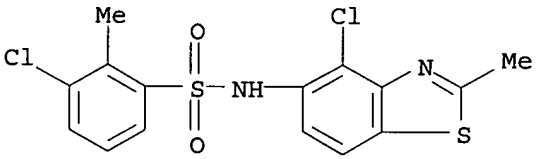
RN 686746-70-3 HCAPLUS
CN Benzenesulfonamide, 2,5-dichloro-N-(4-chloro-2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



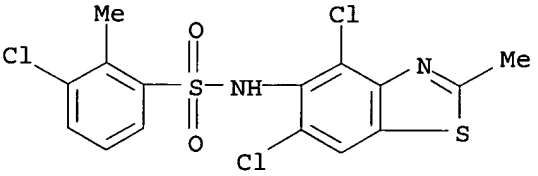
RN 686746-71-4 HCAPLUS
CN Benzenesulfonamide, N-(4-chloro-2-methyl-5-benzothiazolyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 686746-82-7 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-(4-chloro-2-methyl-5-benzothiazolyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 686746-87-2 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-(4,6-dichloro-2-methyl-5-benzothiazolyl)-2-methyl- (9CI) (CA INDEX NAME)



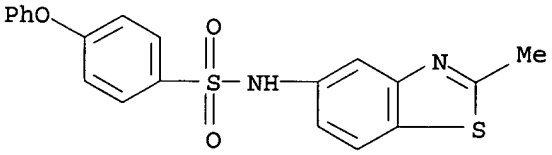
REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:261388 HCAPLUS
DOCUMENT NUMBER: 144:460298
TITLE: Benzothiazole derivatives as novel inhibitors of human 11β-hydroxysteroid dehydrogenase type 1
AUTHOR(S): Su, Xiangdong; Vicker, Nigel; Ganeshapillai, Dharshini; Smith, Andrew; Purohit, Atul; Reed, Michael

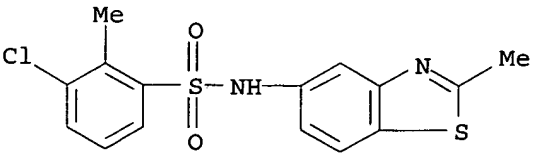
J.; Potter, Barry V. L.
CORPORATE SOURCE: Medicinal Chemistry, Department of Pharmacy and Pharmacology and Sterix Ltd., University of Bath, Bath, BA2 7AY, UK
SOURCE: Molecular and Cellular Endocrinology (2006), 248(1-2), 214-217
CODEN: MCEND6; ISSN: 0303-7207
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Selective inhibitors of 11β-hydroxysteroid dehydrogenase type 1 (11β-HSD1) have considerable potential as treatments for metabolic diseases, such as diabetes mellitus type 2 or obesity. Here, we report the discovery and synthesis of a series of novel benzothiazole derivs. and their inhibitory activities against 11β-HSD1 from human hepatic microsomes measured using a RIA method. The benzothiazole derivs. 1 and 2 showed greater than 80% inhibition for 11β-HSD1 at 10 μM and exhibited IC50 values in the low micromolar range. The preliminary SAR study suggested the introduction of a chlorine substituent at the 4 position of the benzothiazole ring greatly enhanced the inhibitory activities. Docking studies with the benzothiazole derivative 1 into the crystal structure of human 11β-HSD1 revealed how the mol. may interact with the enzyme and cofactor.

IT 670272-62-5 686746-31-6 686746-32-7
686746-38-3 686746-40-7 686746-45-2
686746-70-3 686746-71-4 686746-82-7
886839-51-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzothiazole derivs. as inhibitors of human 11β-hydroxysteroid dehydrogenase type 1)

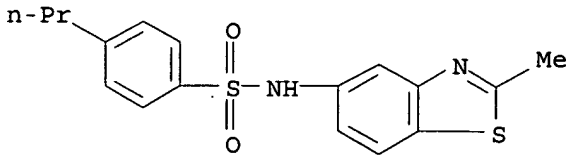
RN 670272-62-5 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-4-phenoxy- (9CI) (CA INDEX NAME)



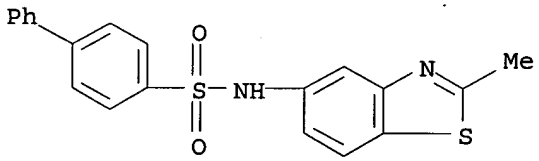
RN 686746-31-6 HCAPLUS
CN Benzenesulfonamide, 3-chloro-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



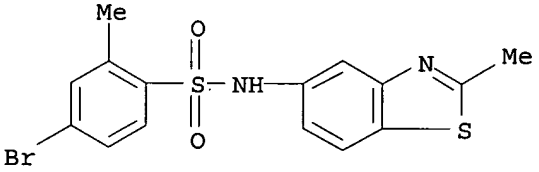
RN 686746-32-7 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-4-propyl- (9CI) (CA INDEX NAME)



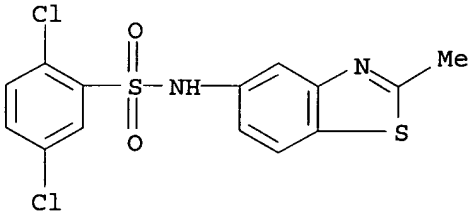
RN 686746-38-3 HCAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



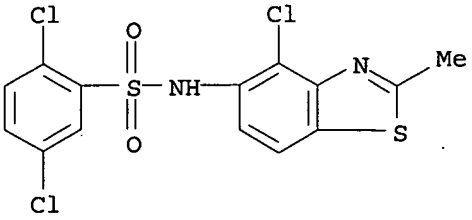
RN 686746-40-7 HCAPLUS
CN Benzenesulfonamide, 4-bromo-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



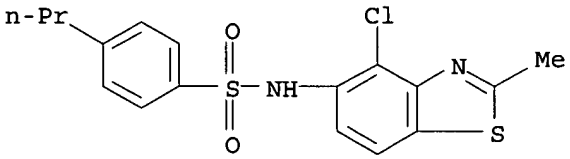
RN 686746-45-2 HCAPLUS
CN Benzenesulfonamide, 2,5-dichloro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



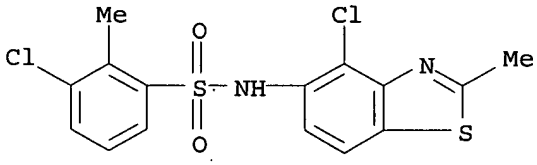
RN 686746-70-3 HCAPLUS
CN Benzenesulfonamide, 2,5-dichloro-N-(4-chloro-2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



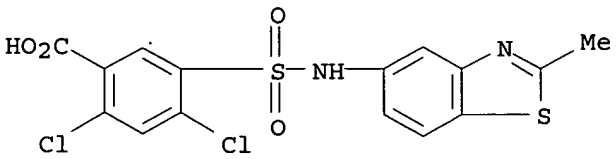
RN 686746-71-4 HCAPLUS
CN Benzenesulfonamide, N-(4-chloro-2-methyl-5-benzothiazolyl)-4-propyl- (9CI) (CA INDEX NAME)



RN 686746-82-7 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-(4-chloro-2-methyl-5-benzothiazolyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 886839-51-6 HCAPLUS
CN Benzoic acid, 2,4-dichloro-5-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

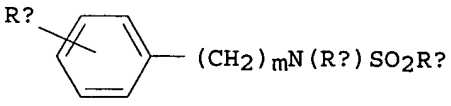
L8 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1042213 HCAPLUS
DOCUMENT NUMBER: 143:326199
TITLE: Preparation of sulfonamides as inhibitors for collagen receptor integrins for treating thrombosis and cancer
INVENTOR(S): Smith, David; Marjamaeki, Anne; Ojala, Marika; Pihlavisto, Marjo; Heino, Jyrki; Kaepylae, Jarmo;

Pentikaeinen, Olli; Nyroenen, Tommi; Johnson, Mark; Huhtala, Mikko
PATENT ASSIGNEE(S): Biotie Therapies Corporation, Finland
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090297	A1	20050929	WO 2004-FI160	20040319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004317332	A1	20050929	AU 2004-317332	20040712
CA 2559919	A1	20050929	CA 2004-2559919	20040712
WO 2005090298	A1	20050929	WO 2004-FI447	20040712
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1732884	A1	20061220	EP 2004-742190	20040712
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.:
WO 2004-FI160 A 20040319
WO 2004-FI447 W 20040712

OTHER SOURCE(S): MARPAT 143:326199
GI



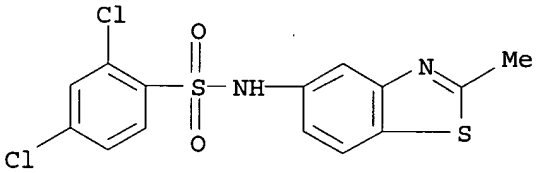
I

AB The invention relates to sulfonamide derivs. (shown as I; variables defined below; e.g. 2,4-dichloro-N-[4-[(4,6-dimethylpyrimidin-2-yl)(methyl)amino]phenyl]benzenesulfonamide (II)). The invention also relates to the use of I as inhibitors for collagen receptor integrins, particularly α2β1, and a process for preparing I. Methods of preparation are claimed and 37 example prepns. are included. For example, II

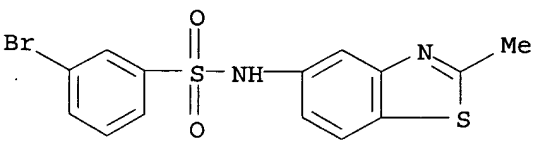
was prepared from N-(4,6-dimethylpyrimidin-2-yl)-N-methylbenzene-1,4-diamine and 2,4-dichlorobenzenesulfonyl chloride in MeCN in the presence of Et3N; the resulting mixture of mono- and bis-sulfonamide was separated by column chromatog. and the bis-sulfonamide was hydrolyzed using NaOEt/EtOH to give more monosulfonamide. For I: Rc is an (un)substituted 4-6-membered heterocyclic ring containing ≥1 N atoms, or Rc is -NR1R2, where R1 is H or alkyl, R2 is alkyl or an (un)substituted 4-6-membered heterocyclic ring containing ≥1 N atoms, or R1 and R2 taken together with the N atom to which they are attached form a heterocyclic group, which may contain ≥1 addnl. heteroatoms = O and N and which may be substituted, or R1 and R2 are absent and the N atom together with the adjacent C atom forms a heterocyclic ring, which may contain ≥1 addnl. heteroatoms = N and S and which may be substituted; RA is -(CH:CH)nQ (Q = R3- and R4-substituted naphthalen-2-yl, thien-2-yl, Ph (n = 0-1; R3 and R4 = H, halogen, aryl, alkoxy, carboxy, hydroxy, alkoxyalkyl, alkoxycarbonyl, cyano, trifluoromethyl, alkanoylamino, trifluoromethoxy, an (un)substituted aryl or heterocyclic)); m = 0, 1 (defined other than in claims); RB = H, alkyl (defined other than in claims).

IT 686746-30-5P, 2,4-Dichloro-N-(2-methyl-1,3-benzothiazol-5-yl)benzenesulfonamide 865375-73-1P, 3-Bromo-N-(2-methylbenzothiazol-5-yl)benzenesulfonamide 865376-19-8P, 2,4-Dichloro-N-(2-methylthiobenzothiazol-5-yl)benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of sulfonamides as inhibitors for collagen receptor integrins for treating thrombosis and cancer)

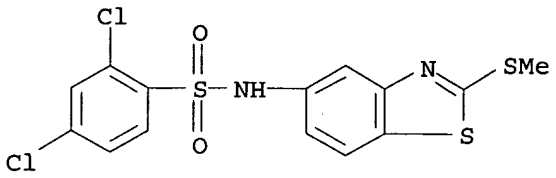
RN 686746-30-5 HCAPLUS
CN Benzenesulfonamide, 2,4-dichloro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



RN 865375-73-1 HCAPLUS
CN Benzenesulfonamide, 3-bromo-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



RN 865376-19-8 HCAPLUS
CN Benzenesulfonamide, 2,4-dichloro-N-[2-(methylthio)-5-benzothiazolyl]- (9CI) (CA INDEX NAME)

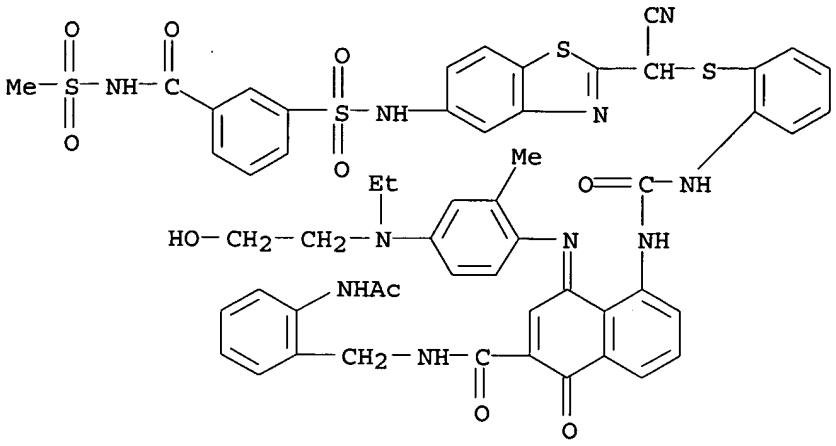


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:904114 HCAPLUS
DOCUMENT NUMBER: 141:386306
TITLE: Color molecule-releasing compound, silver halide photosensitive material, color filter, and manufacture thereof
INVENTOR(S): Makuta, Toshiyuki; Takahashi, Osamu; Mizukawa, Hiroki; Ishiwata, Yasuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004300331	A	20041028	JP 2003-96681	20030331
PRIORITY APPLN. INFO.:			JP 2003-96681	20030331

AB Disclosed is the color mol.-releasing compound capable of releasing a water-insol. color mol. upon the coupling reaction with an oxidized developing agent, wherein the compound is water insol. at pH≤9 and water soluble at pH≥10. The compound is represented by (SOL-Cp)-[L-Dye]n (SOL-Cp = coupler residue; L = divalent bonding group; Dye = hydrophilic or oleophilic dye residue; and n = integer ≥1).
IT 784179-51-7
RL: NUU (Other use, unclassified); USES (Uses)
(color mol.-releasing compound in silver halide photog. emulsion used for manufacture of color filter)
RN 784179-51-7 HCAPLUS
CN 2-Naphthalenecarboxamide, N-[[2-(acetylamino)phenyl]methyl]-5-[[[[2-[[cyano[5-[[[3-[[(methylsulfonyl)amino]carbonyl]phenyl]sulfonyl]amino]-2-benzothiazolyl]methyl]thio]phenyl]amino]carbonyl]amino]-4-[[4-[ethyl(2-hydroxyethyl)amino]-2-methylphenyl]imino]-1,4-dihydro-1-oxo- (9CI) (CA INDEX NAME)



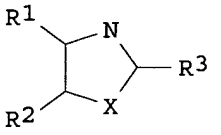
L8 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:368925 HCAPLUS
DOCUMENT NUMBER: 140:391280
TITLE: Preparation of arylsulfonylbenzazoles as inhibitors of 11-β-hydroxy steroid dehydrogenase type 1 and type 2.
INVENTOR(S): Vicker, Nigel; Su, Xiangdong; Ganeshapillai, Dharshini; Purohit, Atul; Reed, Michael John; Potter, Barry Victor Lloyd
PATENT ASSIGNEE(S): Sterix Limited, UK
SOURCE: PCT Int. Appl., 172 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037251	A1	20040506	WO 2003-GB4590	20031023
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2501228	A1	20040506	CA 2003-2501228	20031023
AU 2003274373	A1	20040513	AU 2003-274373	20031023
US 2004143124	A1	20040722	US 2003-690708	20031023
EP 1556040	A1	20050727	EP 2003-758357	20031023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015605	A	20050830	BR 2003-15605	20031023
CN 1723022	A	20060118	CN 2003-80105509	20031023
JP 2006514614	T	20060511	JP 2004-546183	20031023
NO 2005002469	A	20050722	NO 2005-2469	20050523

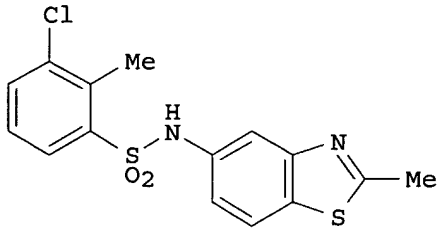
PRIORITY APPLN. INFO.: GB 2002-24830 A 20021024
US 2002-436635P P 20021230
WO 2003-GB4590 W 20031023

OTHER SOURCE(S): MARPAT 140:391280

GI



I

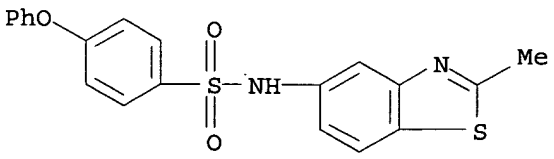


II

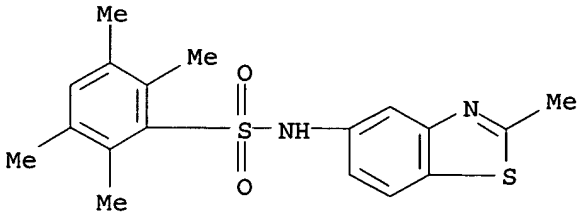
AB Title compds. [I; 1 of R1, R2 = R5SO2N(R4)L; R4 = H, hydrocarbyl; R5 = hydrocarbyl; L = optional linker group; R1R2 = atoms form a ring; X = S, O, NR6, C(R7)(R8); R6-R8 = H, hydrocarbyl], were prepared Thus, title compound (II) inhibited 11β-HSD1 with IC50 = 6.6 μM.

IT 670272-62-5P 671200-96-7P 686746-30-5P
686746-31-6P 686746-32-7P 686746-33-8P
686746-34-9P 686746-37-2P 686746-38-3P
686746-39-4P 686746-40-7P 686746-41-8P
686746-44-1P 686746-45-2P 686746-47-4P
686746-48-5P 686746-49-6P 686746-50-9P
686746-51-0P 686746-52-1P 686746-53-2P
686746-54-3P 686746-55-4P 686746-56-5P
686746-57-6P 686746-58-7P 686746-59-8P
686746-70-3P 686746-71-4P 686746-82-7P
686746-87-2P 686747-08-0P 686747-10-4P
686747-11-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indoles, benzothiazoles, benzoxazoles, and benzimidazoles as inhibitors of hydroxy steroid dehydrogenase)

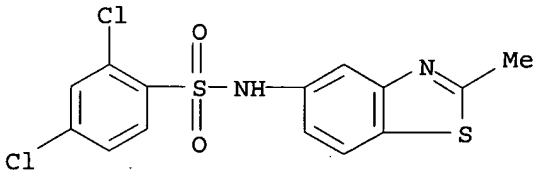
RN 670272-62-5 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-4-phenoxy- (9CI) (CA INDEX NAME)



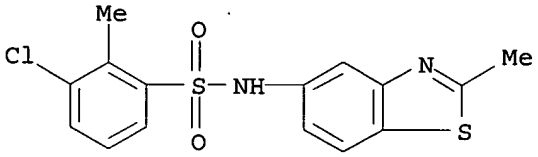
RN 671200-96-7 HCAPLUS
CN Benzenesulfonamide, 2,3,5,6-tetramethyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



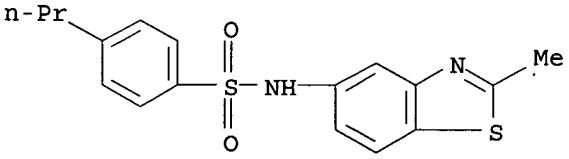
RN 686746-30-5 HCAPLUS
CN Benzenesulfonamide, 2,4-dichloro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



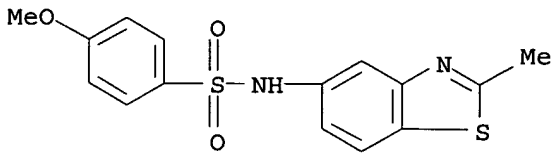
RN 686746-31-6 HCAPLUS
CN Benzenesulfonamide, 3-chloro-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



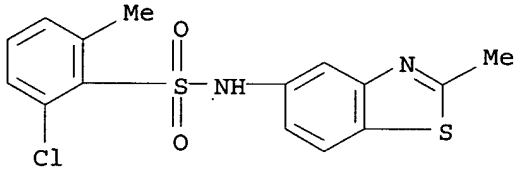
RN 686746-32-7 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-4-propyl- (9CI) (CA INDEX NAME)



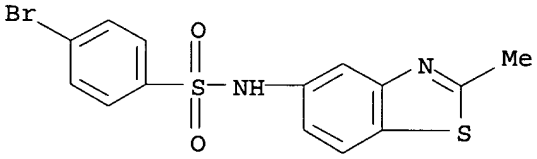
RN 686746-33-8 HCAPLUS
CN Benzenesulfonamide, 4-methoxy-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



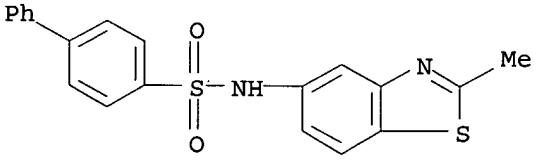
RN 686746-34-9 HCAPLUS
CN Benzenesulfonamide, 2-chloro-6-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



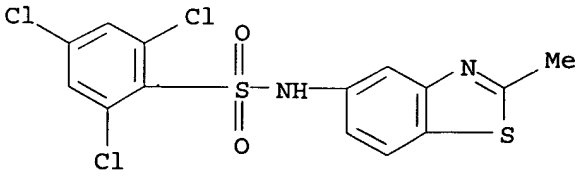
RN 686746-37-2 HCAPLUS
CN Benzenesulfonamide, 4-bromo-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



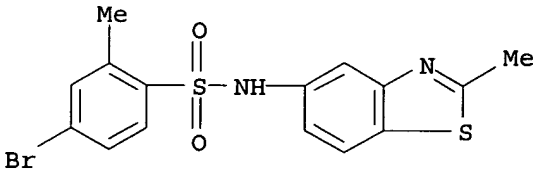
RN 686746-38-3 HCAPLUS
CN [1,1'-Biphenyl]-4-sulfonamide, N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



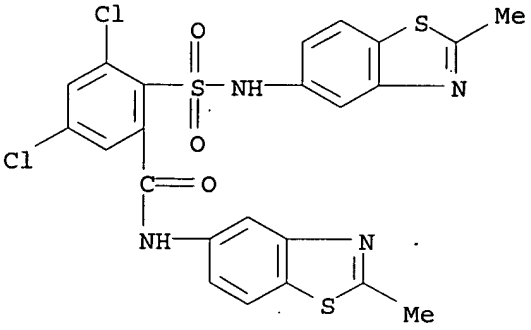
RN 686746-39-4 HCAPLUS
CN Benzenesulfonamide, 2,4,6-trichloro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



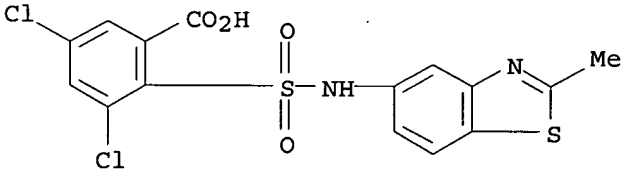
RN 686746-40-7 HCAPLUS
CN Benzenesulfonamide, 4-bromo-2-methyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



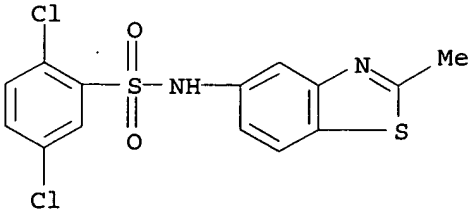
RN 686746-41-8 HCAPLUS
CN Benzamide, 3,5-dichloro-N-(2-methyl-5-benzothiazolyl)-2-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



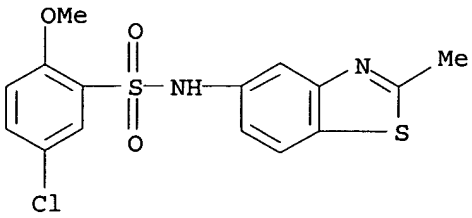
RN 686746-44-1 HCAPLUS
CN Benzoic acid, 3,5-dichloro-2-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]- (9CI) (CA INDEX NAME)



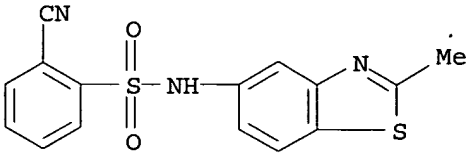
RN 686746-45-2 HCAPLUS
CN Benzenesulfonamide, 2,5-dichloro-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



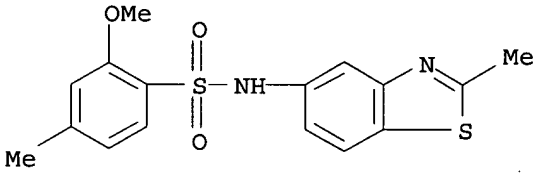
RN 686746-47-4 HCAPLUS
CN Benzenesulfonamide, 5-chloro-2-methoxy-N-(2-methyl-5-benzothiazolyl)-(9CI) (CA INDEX NAME)



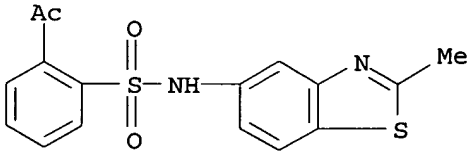
RN 686746-48-5 HCAPLUS
CN Benzenesulfonamide, 2-cyano-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



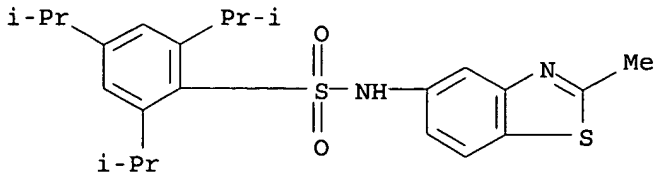
RN 686746-49-6 HCAPLUS
CN Benzenesulfonamide, 2-methoxy-4-methyl-N-(2-methyl-5-benzothiazolyl)-(9CI) (CA INDEX NAME)



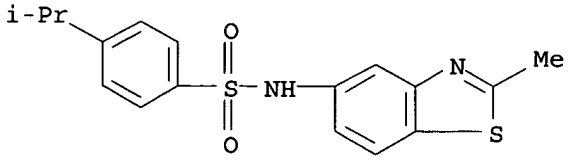
RN 686746-50-9 HCAPLUS
CN Benzenesulfonamide, 2-acetyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



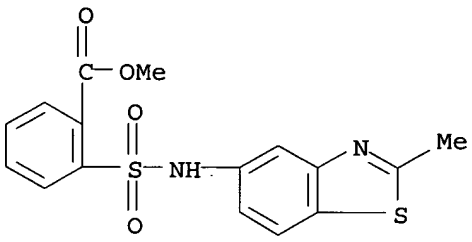
RN 686746-51-0 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-2,4,6-tris(1-methylethyl)- (9CI) (CA INDEX NAME)



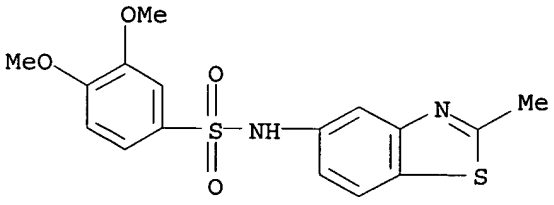
RN 686746-52-1 HCAPLUS
CN Benzenesulfonamide, N-(2-methyl-5-benzothiazolyl)-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



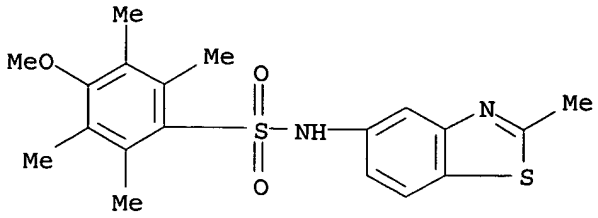
RN 686746-53-2 HCAPLUS
CN Benzoic acid, 2-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)



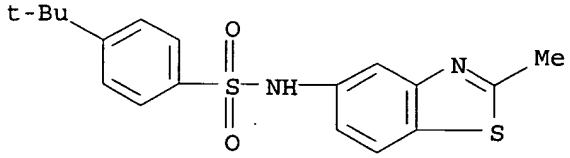
RN 686746-54-3 HCAPLUS
CN Benzenesulfonamide, 3,4-dimethoxy-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



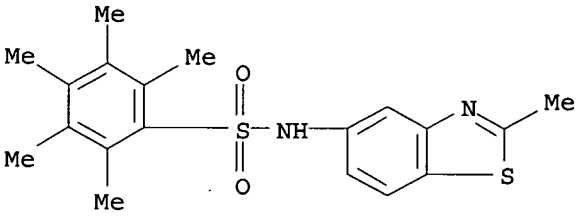
RN 686746-55-4 HCAPLUS
CN Benzenesulfonamide, 4-methoxy-2,3,5,6-tetramethyl-N-(2-methyl-5-benzothiazolyl)- (9CI) (CA INDEX NAME)



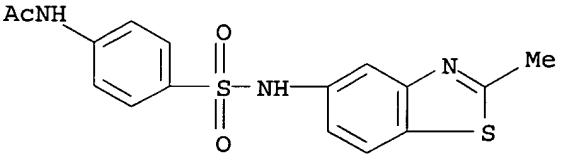
RN 686746-56-5 HCAPLUS
CN Benzenesulfonamide, 4-(1,1-dimethylethyl)-N-(2-methyl-5-benzothiazolyl)-(9CI) (CA INDEX NAME)



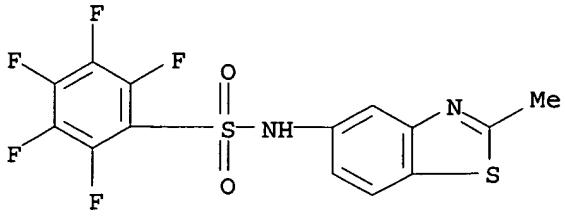
RN 686746-57-6 HCAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentamethyl-N-(2-methyl-5-benzothiazolyl)-(9CI) (CA INDEX NAME)



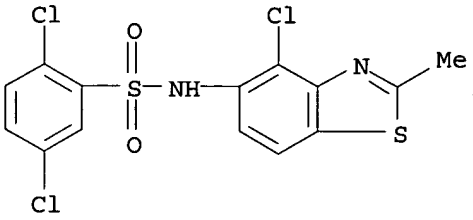
RN 686746-58-7 HCAPLUS
CN Acetamide, N-[4-[[(2-methyl-5-benzothiazolyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



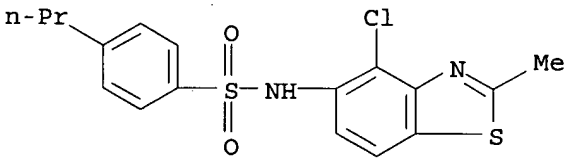
RN 686746-59-8 HCAPLUS
CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-(2-methyl-5-benzothiazolyl)-(9CI) (CA INDEX NAME)



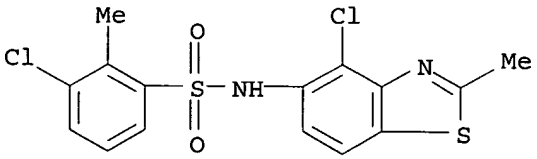
RN 686746-70-3 HCAPLUS
CN Benzenesulfonamide, 2,5-dichloro-N-(4-chloro-2-methyl-5-benzothiazolyl)-(9CI) (CA INDEX NAME)



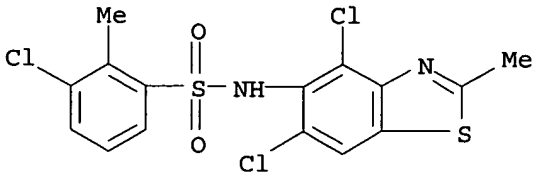
RN 686746-71-4 HCAPLUS
CN Benzenesulfonamide, N-(4-chloro-2-methyl-5-benzothiazolyl)-4-propyl- (9CI) (CA INDEX NAME)



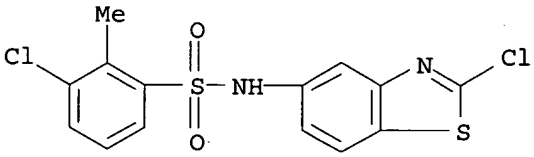
RN 686746-82-7 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-(4-chloro-2-methyl-5-benzothiazolyl)-2-methyl- (9CI) (CA INDEX NAME)



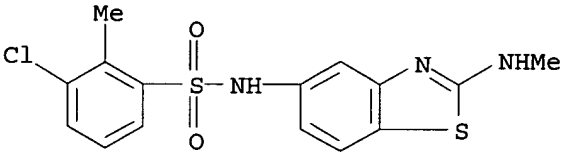
RN 686746-87-2 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-(4,6-dichloro-2-methyl-5-benzothiazolyl)-2-methyl- (9CI) (CA INDEX NAME)



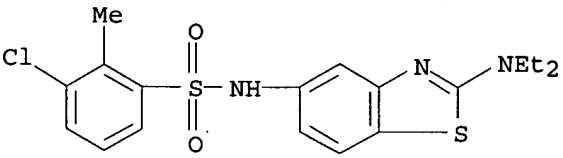
RN 686747-08-0 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-(2-chloro-5-benzothiazolyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 686747-10-4 HCAPLUS
CN Benzenesulfonamide, 3-chloro-2-methyl-N-[2-(methylamino)-5-benzothiazolyl]- (9CI) (CA INDEX NAME)



RN 686747-11-5 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-[2-(diethylamino)-5-benzothiazolyl]-2-methyl- (9CI) (CA INDEX NAME)

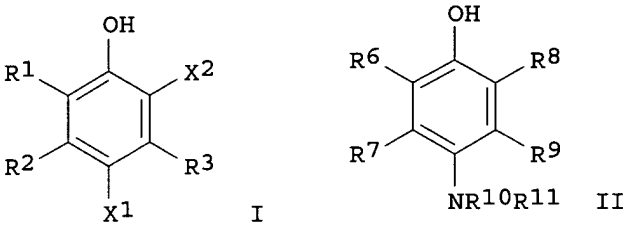


L8 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:686578 HCAPLUS
DOCUMENT NUMBER: 133:259388
TITLE: Heat development photosensitive material for printing platemaking
INVENTOR(S): Ezoe, Toshihide; Taniguchi, Masahiko
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 57 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000267222	A	20000929	JP 1999-73951	19990318

PRIORITY APPLN. INFO.: JP 1999-73951 19990318
OTHER SOURCE(S): MARPAT 133:259388
GI



AB The title photosensitive material, containing a photosensitive Ag halide, a reducible Ag salt, a reducing agent, a binder, a nucleating agent, and a phenolic compound I or II [R1-3, R6-9, X1, X2 = H, halo, substituent linking to the benzene ring by C, O, N, S or P atom, ≥1 of X1 and X2 is NR4R5; R4, R5, R10. R11 = H, alkyl, alkenyl, alkynyl, aryl, heterocyclic group, COR, COCOR, SO2R, SOR, POR2, C(:NR')R; R, R' = H, alkyl, aryl, heterocyclic group, amino, alkoxy, aryloxy (the adjacent groups in these groups may link each other to form a ring)] on ≥1 side of the same surfaces of a support, contains ≥1 selected from a compound X1JnB1 (X1 = residue of a photog. inhibitor having a N-containing heterocycle; J = divalent linking group; B1 = ballast; n ≥ 1), a polymer having a repeating unit derived from a monomer QX2 (Q = ethylenic unsatd. group, ethylenic unsatd. group- containing group; X2 = residue of photog. inhibitor having a N-containing heterocycle), and compound A1X3 (A1 = water-soluble group-containing group; X3 = residue of a photog. inhibitor having a N-containing heterocycle). The material shows super-high contrast and little variation in Dmax upon storage and is suited for photomech. process.

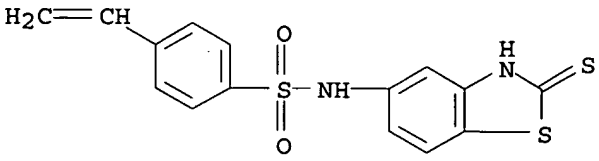
IT 212572-28-6
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(photothermog. material containing development inhibitor and phenolic compound development accelerator)

RN 212572-28-6 HCAPLUS

CN 2-Propenoic acid, butyl ester, polymer with N-(2,3-dihydro-2-thioxo-5-benzothiazolyl)-4-ethenylbenzenesulfonamide (9CI) (CA INDEX NAME)

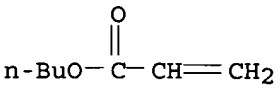
CM 1

CRN 212572-27-5
CMF C15 H12 N2 O2 S3



CM 2

CRN 141-32-2
CMF C7 H12 O2



L8 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:555880 HCAPLUS
DOCUMENT NUMBER: 129:237713
TITLE: Thermographic black and white photographic material with high contrast and fog resistance and image-forming method using it
INVENTOR(S): Yamada, Taketoshi; Komamura, Tawara
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 31 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10228077	A	19980825	JP 1997-31939	19970217

PRIORITY APPLN. INFO.: JP 1997-31939 19970217

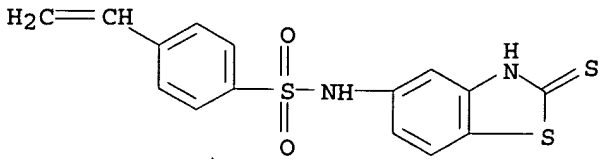
AB The material contains at least a binder, a Ag halide, an organic Ag salt, a hydrazine derivative, and one of the following compds. (1) X1JnB (X1 = residue of a photog. fog inhibitor with N-containing heterocyclic ring; J = bivalent linking group; B = ballast group; n ≥1); (2) a polymer containing QX2 (Q = ethylenically unsatd. group; group having an ethylenically unsatd. group; X2 = X1); (3) AX3 (A = water-soluble group; X3 = X1). A black and white image is formed by developing the material for 1-180 s. The material shows high contrast, improved storage stability for a long time, and less fogging of an unexposed area after development.

IT 212572-28-6
RL: TEM (Technical or engineered material use); USES (Uses)
(fog inhibitor; thermog. black and white organic silver salt photog. material containing fog inhibitor)

RN 212572-28-6 HCAPLUS
CN 2-Propenoic acid, butyl ester, polymer with N-(2,3-dihydro-2-thioxo-5-benzothiazolyl)-4-ethenylbenzenesulfonamide (9CI) (CA INDEX NAME)

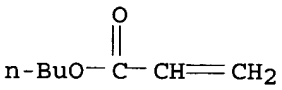
CM 1

CRN 212572-27-5
CMF C15 H12 N2 O2 S3



CM 2

CRN 141-32-2
CMF C7 H12 O2



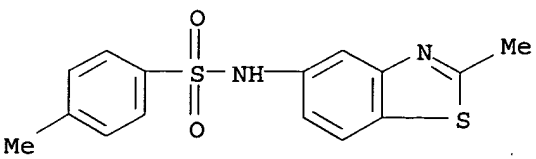
L8 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1962:469757 HCAPLUS
DOCUMENT NUMBER: 57:69757
ORIGINAL REFERENCE NO.: 57:13924f-i,13925a-f
TITLE: Azomethine dyes. IV. Indoaniline dyes derived from heterocyclic N-substituted 1-hydroxy-2-naphthamides
AUTHOR(S): Portnaya, B. S.; Bobkova, T. P.; Krasheninnikova, M. V.; Chel'tsov, V. S.; Levkoev, I. I.
SOURCE: Ts. Vses. Nauchn.-Issled. Kinofotoinst. (1960), (No. 40), 106-18
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 51, 5022i; 52, 15505e. N-Thiazolyl- and -benzothiazolyl derivs. of 1-hydroxy-2-naphthamide (Ia) were prepared and converted to indoanillne dyes. A mixture of 4.08 g. p-MeC6H4SO2Cl, 3.28 g. 2-methyl-5-aminobenzothiazole, and 16 ml. C5H5N heated 90 min. on a steam bath, cooled, and treated with 200 ml. H2O and 25 ml. concentrated HCl gave 5.2 g. (91%) of 2-methyl5-p-toluenesulfonamidobenzothiazole (I), m. 172-3o (alc.). A solution of 12.75 g. I and 3.2 g. KOH in 80 ml. H2O stirred 30 min. with 10.08 g. Me2SO4, and 30 min. with 1.6 g. NaOH in 40 ml. H2O gave 9.1 g. (68%) N-methyl derivative (II) of I, m. 110-11° (alc.). Refluxing 10 g. II with 120 ml. concentrated HCl 2 hrs., adding 600 ml. H2O, neutralizing with 30% NaOH, extracting with Et2O, and evaporating gave 63.5% 2-methyl-5-(N-methylamino)benzothiazole (III), m. 77-8o (petr. ether). Addition of 7.7 g. stearoyl chloride to 4.34 g. 2,5-Br(O2N)C6H3NH2 and 20 ml. C5H5N, refluxing 1 hr., pouring into 80 g. ice and 25 ml. HCl, washing with H2O, Me2CO, and Et2O gave 71% 2,5-Br(O2N)C6H3NHCOC17H35 (IV), m. 115-16o (alc.). Na2S (4.16 g.) in 25 ml. 50% alc. was added to 4.8 g. IV in 40 ml. alc., refluxed 1.5 hrs., filtered, diluted with 400 ml. H2O, refiltered, heated 30 min. with 40 ml. concentrated HCl to a crude product. The yellow crystals refluxed with 50 ml. 20% HCl and 12 ml. alc. 2 hrs., filtered, extracted with Et2O, the extract washed with 2% NaOH and H2O, evaporated,

and the residue chromatographed in C66 through Al2O3 gave 55% of 2-heptadecyl-5-nitrobenzothiazole (V), yellow crystals, m. 57-8° (alc.). Reduction of 4.18 g. V in 32 ml. refluxing alc. with 13.5 g. SnCl2 and 20 ml. concentrated HCl, filtered, basified with 40% NaOH, extracted with Et2O, evaporated and recrystd. from alc. gave 74% 2-heptadecyl-5-aminobenzothiazole (VI), m. 67-8°, N-(p-toluenesulfonyl) derivative (VII), 89% light yellow crystals, m.p. 77-8o (MeOH), N-methyl-VII (VIII), 68% light yellow crystals, m. 61-2o (MeOH). Hydrolysis of VIII gave 70% of 1-heptadecyl-5methylaminobenzothiazole (IX), m. 42° (alc.). Equimolar amts. of 1,2-HOC10H6CO2Ph and the appropriate amine were heated in vacuo at 135-70°, washed with H2O and 5% NaHCO3, and recrystd. from alc. to give N-substituted derivs. of Ia (substituent, % yield, m.p.): 2-thiazolyl, 40, 230-1°; 4,5-dicarbethoxy-2-thiazolyl, 85, 239-40°; 2benzothiazolyl (X), 60, 244-5°; 5,6-dicarbethoxy-2-benzothiazolyl, 80, 258-6°; 2-methyl-5-benzothiazolyl, 80, 234-5°; 2-methyl-6-benzothiazolyl, 63, 253-4°; 2-heptadecyl5-benzothiazolyl (XI), 94, 149°. XI (1.05 g.) and 3.75 ml. concentrated H2SO4 shaken 1 hr. at 30-5°, 1 hr. at 40-5°, the viscous mass added to 4 g. NaCl and 10 g. ice, filtered, and washed with 15% NaCl gave 54% N-(2-heptadecyl-5-benzothiazolyl)-1-hydroxy-4-sulfo-2-naphthamide, m. 249-50o (alc.). A solution of 2.01 g. IX in 5 ml. C6H6 stirred with 1.03 g. 1,2-HOC10H6COCl and 1 ml. PhNMe2 in 7 ml. C6H6 for 6 hrs., extracted with 20 ml. Et2O, washed with 5% HCl, 3% Na2CO3, and H2O, dried over Na2SO4, evaporated, recrystd. from alc. and twice from MeOH gave 46% N-methyl-N(2-heptadecyl-5-benzothiazolyl)-1-hydroxy-2-naphthamide, m.p. 52-3o; 4-sulfoderiv., 25%, m. 183-5° (3 times from MeOH). Condensation of the amides with 4-Et2NC6H4NH2 and ZnCl2 in alc. gave indoaniline dyes which were chromatographed in C6H6 or CHCl3 solution through Al2O3. The following derivs. of XII were obtained (R, R', recrystn. solvent, color, % yield, m.p., λmaximum in MeOH, alc., gelatin in mμ): H, 2-thiazolyl, PrOH, green, 25, 184-5°, 708, -, 680; H, 4,5-dicarbethoxy-2-thiazolyl, PrOH, bronze, 25, 165-6°, 729, -, -; H, 2-benzothiazolyl, PrOH, bronze needles, 15, 188°, 721, 722-4°, 690; H, 4, 5-dicarbethoxy-2-benzothiazolyl, BuOH, green prisms, 12, 202-3°, -, 728, -; H, 2-methyl-5-benzothiazolyl, BuOH, green prisms, 87, 206-7°, 694, -, 670; Me, 2-methyl-5-benzothiazolyl, MeOH, blue prisms, 11, 160-2°, 645, -, 605; H, 2-methyl-6-benzothiazolyl, PrOH, blue needles, 89, 199-200°, 698, -, 590; H, H -, -, -, -, 692, 690, 680; H, 2-heptadecyl-5-benzothiazolyl, -, -, -, -, -, -, 690; Me, 2-heptadecyl-5-benzothiazolyl, -, -, -, -, -, -, 640. The absorption spectra in gelatin are given.

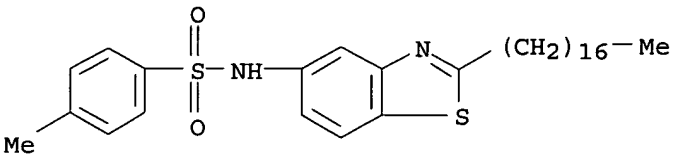
IT 93733-28-9P, p-Toluenesulfonamide, N-(2-methyl-5-benzothiazolyl)-
96774-24-2P, p-Toluenesulfonamide, N-(2-heptadecyl-5-benzothiazolyl)-
RL: PREP (Preparation)
(preparation of)

RN 93733-28-9 HCAPLUS

CN p-Toluenesulfonamide, N-(2-methyl-5-benzothiazolyl)- (7CI) (CA INDEX NAME)



RN 96774-24-2 HCAPLUS
CN p-Toluenesulfonamide, N-(2-heptadecyl-5-benzothiazolyl)- (7CI) (CA INDEX NAME)

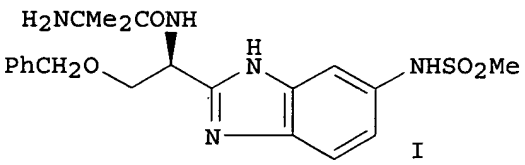


=> d l15 ibib abs hitstr 1-15

L15 ANSWER 1 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:150554 HCAPLUS
DOCUMENT NUMBER: 138:188073
TITLE: Preparation of dipeptide heterocyclic aromatic compounds as growth hormone secretagogues
INVENTOR(S): Tino, Joseph A.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 506,749, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525203	B1	20030225	US 2000-662448	20000914 <--
US 6518292	B1	20030211	US 2000-506749	20000218 <--
ZA 2001006854	A	20021120	ZA 2001-6854	20010820 <--
US 6660760	B1	20031209	US 2002-282182	20021028 <--
US 2004002525	A1	20040101	US 2002-281818	20021028 <--
US 6969727	B2	20051129		
US 2004029935	A1	20040212	US 2002-281649	20021028 <--
US 6908938	B2	20050621		
US 2004072881	A1	20040415	US 2002-281848	20021028 <--
US 7053110	B2	20060530		

PRIORITY APPLN. INFO.: US 1999-124131P P 19990312
US 1999-154919P P 19990921
US 2000-506749 A2 20000218
OTHER SOURCE(S): MARPAT 138:188073
GI



I

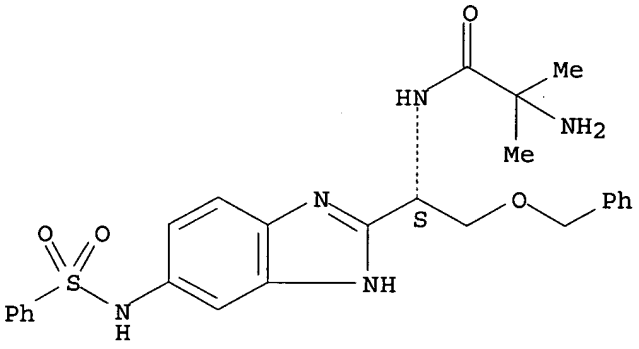
AB R1R1aCXaNR6COYXb [R1 = (un)substituted alkyl, (hetero)aryl(alkyl), etc.; R1a = H or (cyclo)alkyl; R6 = H, (cyclo)alkyl, alkenyl, aryl; Xa = substituted 2-benzoxazolyl, 2-benzothiazolyl, or 2-benzimidazolyl; Xb = (di)(alkyl)amino, (un)substituted imidazolyl; Y = phenylene, (phenylene-interrupted)alkylene, (un)substituted alkylene, aza- or oxaalkylene, or alkenylene] were prepared as growth hormone production and/or release stimulants. Thus, dipeptide benzimidazole derivative I (Boc = tert-butoxycarbonyl) was prepared by a multistep procedure starting from Boc-D-Ser(CH2Ph)-OH, 4-nitro-o-phenylenediamine, Boc-methylalanine, and MeSO2Cl.

IT 295335-10-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide heterocyclic aromatic compds. as growth hormone secretagogues)

RN 295335-10-3 HCAPLUS

CN Propanamide, 2-amino-2-methyl-N-[(1S)-2-(phenylmethoxy)-1-[5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 2 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:814232 HCAPLUS
DOCUMENT NUMBER: 137:326555
TITLE: Azo dye-containing coloring composition for image formation with improved ozone resistance
INVENTOR(S): Fujiwara, Toshiki; Hanaki, Naoyuki; Tanaka, Shigeaki; Omatsu, Tadashi; Yabuki, Yoshiharu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083795	A2	20021024	WO 2002-JP3490	20020408 <--
WO 2002083795	A3	20030306		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2002309115	A	20021023	JP 2001-110333	20010409	<--
JP 2002309133	A	20021023	JP 2001-110334	20010409	<--
JP 2002309116	A	20021023	JP 2001-110335	20010409	<--
JP 2003049100	A	20030221	JP 2001-237903	20010806	
JP 2003064275	A	20030305	JP 2001-254878	20010824	
JP 2002371214	A	20021226	JP 2002-12015	20020121	<--
CA 2439113	A1	20021024	CA 2002-2439113	20020408	<--
EP 1377642	A2	20040107	EP 2002-713302	20020408	

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2004089200	A1	20040513	US 2003-471650	20030912	<--
US 7108743	B2	20060919			

PRIORITY APPLN. INFO.:

JP 2001-110333	A	20010409
JP 2001-110334	A	20010409
JP 2001-110335	A	20010409
JP 2001-110457	A	20010409
JP 2001-237903	A	20010806
JP 2001-254878	A	20010824
JP 2002-12015	A	20020121
WO 2002-JP3490	W	20020408

OTHER SOURCE(S): MARPAT 137:326555

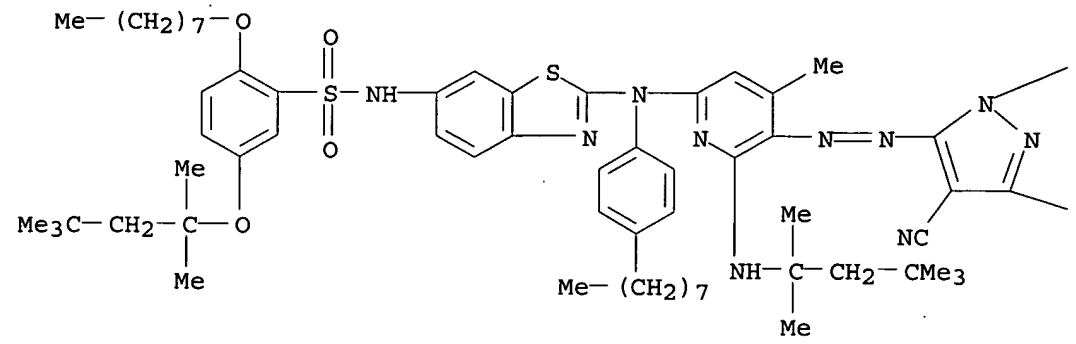
AB A coloring composition for image formation comprises an azo dye having an aromatic nitrogen-containing 6-membered heterocyclic ring as a coupling component and which comprises an azo compound having an oxidation potential better than 1.0 V vs.SCE and having at least two substituents having a pKa value of -10 to 5 in water. Improved ozone resistance is obtained with an azo compound showing a maximum absorption at a wavelength between 500 nm and 580 nm with a half-value width of 150 nm or narrower. The dyes may be used in jet ink compns., color filters, color toners, etc. In an example, 2-amino-4,5-dicyano-1-(ethoxycarbonylmethyl)imidazole→2,6-bis(octylanilino)-4-methylpyridine was prepared as an azo dye (λmax 528 nm in DMF).

IT 473465-65-5 473555-05-4
RL: TEM (Technical or engineered material use); USES (Uses)
(dye; azo dye-containing coloring compns. for image formation with improved ozone resistance)

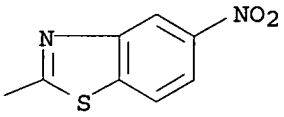
RN 473465-65-5 HCAPLUS

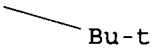
CN Benzenesulfonamide, N-[2-[[5-[[4-cyano-3-(1,1-dimethylethyl)-1-(5-nitro-2-benzothiazolyl)-1H-pyrazol-5-yl]azo]-4-methyl-6-[(1,1,3,3-tetramethylbutyl)amino]-2-pyridinyl](4-octylphenyl)amino]-6-benzothiazolyl]-2-(octyloxy)-5-(1,1,3,3-tetramethylbutoxy)- (9CI) (CA INDEX NAME)

PAGE 1-A



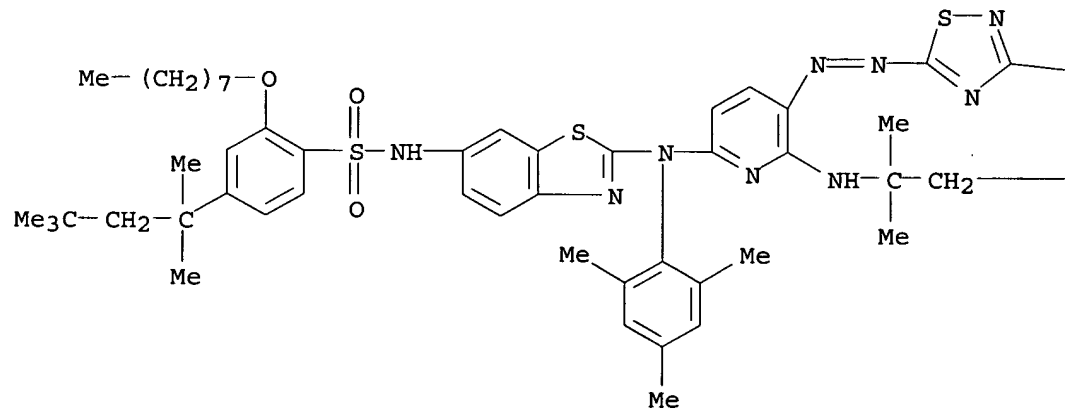
PAGE 1-B





RN 473555-05-4 HCAPLUS
CN Benzenesulfonamide, 2-(octyloxy)-N-[2-[[5-[(3-phenyl-1,2,4-thiadiazol-5-yl)azo]-6-[(1,1,3,3-tetramethylbutyl)amino]-2-pyridinyl](2,4,6-trimethylphenyl)amino]-6-benzothiazolyl]-4-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



—— Ph

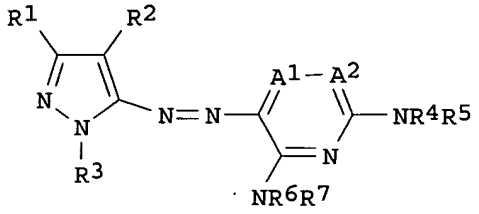
— CMe_3

L15 ANSWER 3 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:814122 HCAPLUS
DOCUMENT NUMBER: 137:326554
TITLE: Pyrazole azo dyes, their production and coupling agents therefor
INVENTOR(S): Fujiwara, Toshiki; Hanaki, Naoyuki; Tanaka, Shigeaki; Omatsu, Tadashi; Yabuki, Yoshiharu
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083662	A2	20021024	WO 2002-JP3491	20020408 <--
WO 2002083662	A3	20030306		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
JP 2002322151	A	20021108	JP 2001-126239	20010424 <--
JP 2002371079	A	20021226	JP 2002-12108	20020121 <--
EP 1377640	A2	20040107	EP 2002-708777	20020408
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1501962	A	20040602	CN 2002-808009	20020408
US 2004122219	A1	20040624	US 2003-473419	20030930 <--
US 7109336	B2	20060919		

PRIORITY APPLN. INFO.:		
JP 2001-110458	A	20010409
JP 2001-126239	A	20010424
JP 2002-12108	A	20020121
WO 2002-JP3491	W	20020408

OTHER SOURCE(S): MARPAT 137:326554
GI



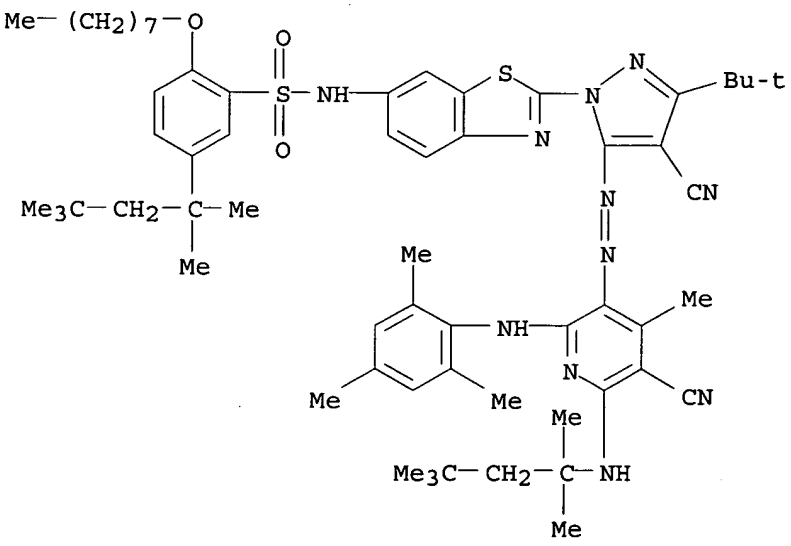
I

AB Aminopyrazole diazo component-based azo dyes (I; A1, A2 = N, optionally substituted -CH=; R1 = H, organic group; R2 = H, halogen, CN; R3 = H, organic group; R4, R5, R6, R7 = H, organic group, carboxy, sulfo, carbamoyl) are obtained from novel diamino heterocyclic coupling components. I are suitable for image formation and recording and have excellent ozone resistance. In an example, 5-amino-3-tert-butyl-4-cyanopyrazole was diazotized and coupled with 3-cyano-4-methyl-2,6-bis(p-octylanilino)pyridine and the product was condensed with 2-chlorobenzothiazole to give a dye (λmax 545 nm in DMF).

IT 473465-24-6P 473465-65-5P
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(dye; production of pyrazole azo dyes for image formation and recording)

RN 473465-24-6 HCAPLUS

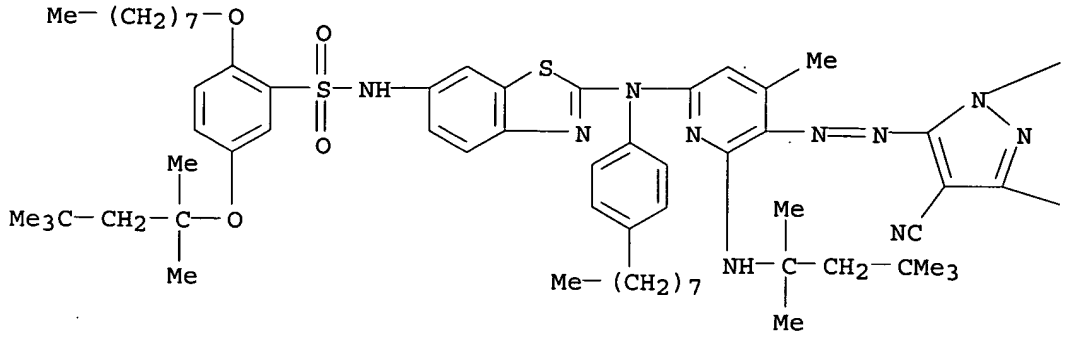
CN Benzenesulfonamide, N-[2-[4-cyano-5-[[5-cyano-4-methyl-6-[(1,1,3,3-tetramethylbutyl)amino]-2-[(2,4,6-trimethylphenyl)amino]-3-pyridinyl]azo]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-6-benzothiazolyl]-2-(octyloxy)-5-(1,1,3,3-tetramethylbutyl)- (9CI) (CA INDEX NAME)



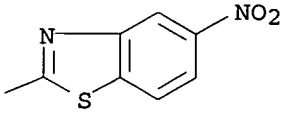
RN 473465-65-5 HCAPLUS

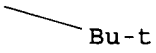
CN Benzenesulfonamide, N-[2-[[5-[[4-cyano-3-(1,1-dimethylethyl)-1-(5-nitro-2-benzothiazolyl)-1H-pyrazol-5-yl]azo]-4-methyl-6-[(1,1,3,3-tetramethylbutyl)amino]-2-pyridinyl](4-octylphenyl)amino]-6-benzothiazolyl]-2-(octyloxy)-5-(1,1,3,3-tetramethylbutoxy)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B





L15 ANSWER 4 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:353428 HCAPLUS
DOCUMENT NUMBER: 136:369603
TITLE: Preparation of (sulfonylamino)(aminomethylidene)indolinones as cell proliferation inhibitors.
INVENTOR(S): Walter, Rainer; Heckel, Armin; Roth, Gerald Juergen; Kley, Joerg; Schnapp, Gisela; Lenter, Martin; Van Meel, Jacobus Constantinus Antonius; Spevak, Walter; Weyer-Czernilofsky, Ulrike
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036564	A1	20020510	WO 2001-EP12523	20011030 <--

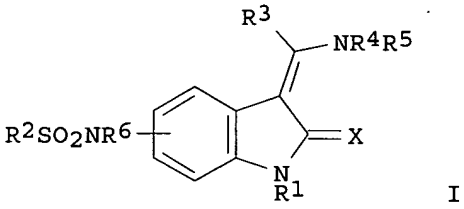
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,

	US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10054019		A1	20020523	DE 2000-10054019	20001101 <--
AU 200215980		A	20020515	AU 2002-15980	20011030 <--
EP 1341760		A1	20030910	EP 2001-992699	20011030
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004513113		T	20040430	JP 2002-539324	20011030
US 2003069299		A1	20030410	US 2001-2939	20011101 <--
US 6638965		B2	20031028		
US 2004044222		A1	20040304	US 2003-646423	20030822 <--
US 7160901		B2	20070109		
US 2004044053		A1	20040304	US 2003-646495	20030822 <--
US 7166615		B2	20070123		

PRIORITY APPLN. INFO.:	DE 2000-10054019	A	20001101
	US 2000-251055P	P	20001201
	WO 2001-EP12523	W	20011030
	US 2001-2939	A3	20011101

OTHER SOURCE(S): MARPAT 136:369603

GI



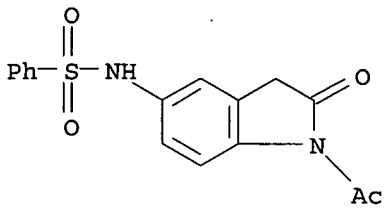
I

AB Title compds. [I; X = O, S; R1 = H, alkoxycarbonyl, alkanoyl; R2 = (substituted) alkyl, alkenyl, Ph, heteroaryl, cycloalkyl, naphthyl, etc.; R3 = H, alkyl; R4 = (substituted) Ph, naphthyl, heteroaryl; R5, R6 = H, alkyl], were prepared Thus, 1-acetyl-3-(1-ethoxy-1-phenylmethylidene)-5-(N-acetyl-N-phenylsulfonylamino)-2-indolinone (preparation given) and 4-[N-acetyl-N-(2-trifluoracetylaminoethyl)amino]aniline (preparation given) were heated in DMF for 6 h at 120° to give 49% (Z)-3-[1-[4-[N-acetyl-N-(2-aminoethyl)amino]phenylamino]-1-phenylmethylidene]-5-phenylsulfonylamino-2-indolinone. Tested I inhibited proliferation of leiomyosarcoma SK-UT-1B cells in mice at <0.01 μM-1.0 μM.

IT 422518-12-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (sulfonylamino)(aminomethylidene)indolinones as cell proliferation inhibitors)

RN 422518-12-5 HCAPLUS

CN 2H-Indol-2-one, 1-acetyl-1,3-dihydro-5-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

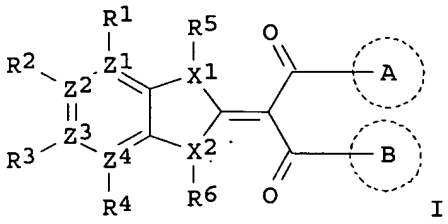


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 5 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:31423 HCAPLUS
DOCUMENT NUMBER: 136:102388
TITLE: Preparation of 2-(benzoazolidinylene)propane-1,3-dione derivatives as GnRH receptor antagonists
INVENTOR(S): Hirano, Masaaki; Kawaminami, Eiji; Toyoshima, Akira; Moritomo, Hiroyuki; Seki, Norio; Wakayama, Ryutaro; Okada, Minoru; Kusayama, Toshiyuki
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002002533	A1	20020110	WO 2001-JP5813		20010704 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2415010	A1	20020110	CA 2001-2415010		20010704 <--
AU 200171022	A	20020114	AU 2001-71022		20010704 <--
EP 1300398	A1	20030409	EP 2001-949914		20010704
EP 1300398	B1	20060405			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
AT 322485	T	20060415	AT 2001-949914		20010704
PT 1300398	T	20060731	PT 2001-949914		20010704
ES 2261437	T3	20061116	ES 2001-1949914		20010704
US 2003191164	A1	20031009	US 2002-311688		20021219 <--
US 6960591	B2	20051101			
US 2005267110	A1	20051201	US 2005-155595		20050620 <--
PRIORITY APPLN. INFO.:			JP 2000-204425	A	20000705
			JP 2001-153372	A	20010523
			WO 2001-JP5813	W	20010704
			US 2002-311688	A3	20021219

OTHER SOURCE(S): MARPAT 136:102388
GI



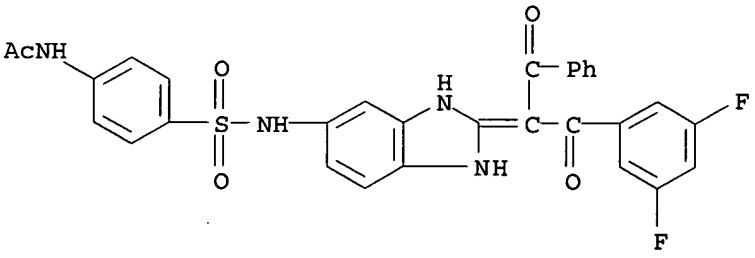
I

AB Described are medicinal compns., in particular, gonadotropin releasing hormone (GnRH) receptor antagonists comprising propane-1,3-dione derivs. represented by the following general formula [I; R1 , R2, R3, R4 = H,NO2, cyano, halo, (un)substituted hydrocarbyl, heterocyclyl, OH, CO2H, acyloxy, or acyl, substituent-S(O)n, H-S(O)n (wherein n = an integer of 0-2), (un)substituted CONH2, SO2NH2, or NH2; or two adjacent groups selected from R1-R4 are taken together to form aryl or cycloalkenyl; R5, R6 = H, halo, (un)substituted hydrocarbyl or NH2; X1, X2 = N, S, O; A, B = (un)substituted aryl or heterocyclyl; Z1, Z2, Z3, Z4 = C, N; provided that (1) when X1 and X2 are S or O, both or one of R5 and R6 is absent or (2) when 1 to 4 of Z1, Z2, Z3, and /or Z4 is N, the corresponding R1, R2, R3, and/or R4 is absent.] as the active ingredient. These compds. I are nonpeptide compds. having a GnRH antagonism and lowering sex hormone and are useful for the treatment of sex hormone-dependent diseases such as prostate cancer, breast cancer, endometriosis, and hysteromyoma. Thus, K2CO3 and NaI were successively added to a son. of 1-(3,5-difluorophenyl)-2-(5-hydroxy-1,3-dihydro-2H-benzimidazol-2-ylidene)-3-phenylpropane-1,3-dione (preparation given) and 3-chloromethylpyridine hydrochloride in MeCN and stirred at 80° for 3.5 h to give 1-(3,5-difluorophenyl)-2-[5-(3-pyridylmethoxy)-1,3-dihydro-2H-benzimidazol-2-ylidene]-3-phenylpropane-1,3-dione (II). II and 24 other compds. I in vitro showed IC50 of 10-10 to 10-9 M for inhibiting the binding of 125I-D-Trp6-LHRH to human GnRH receptor. In particular, 2-(dihydrobenzoimidazol-2-ylidene)propane-1,3-dione derivs. exhibited the GnRH receptor-inhibitory activity equivalent to that of the peptide GnRH antagonist cetrorelix.

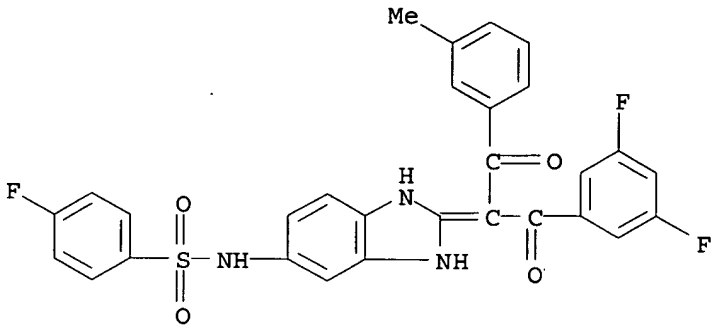
IT 388596-43-8P 388596-44-9P 388596-45-0P
388596-46-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)

RN 388596-43-8 HCAPLUS

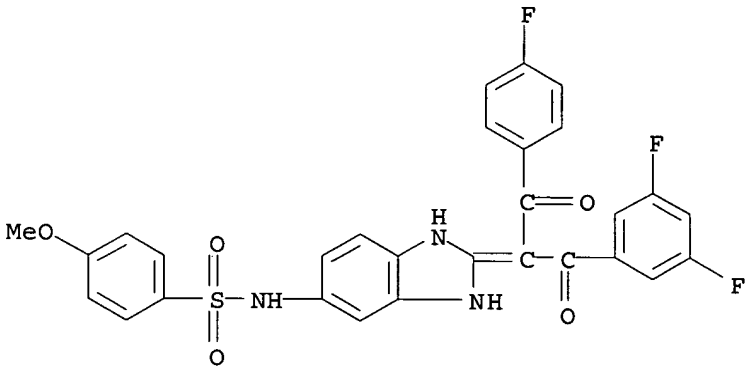
CN Acetamide, N-[4-[[[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



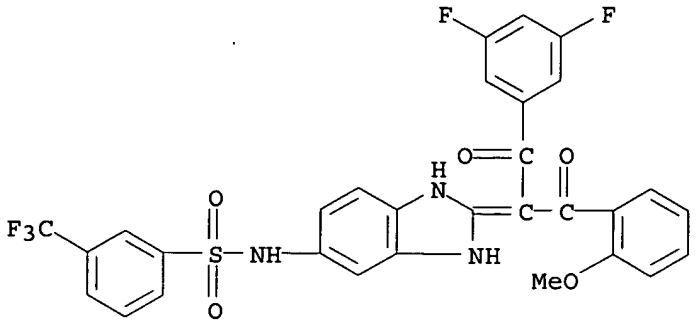
RN 388596-44-9 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(3-methylphenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-fluoro- (9CI) (CA INDEX NAME)



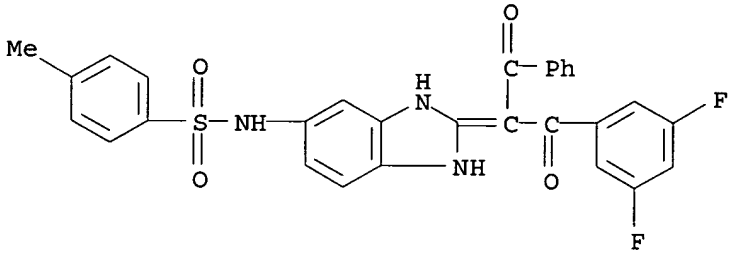
RN 388596-45-0 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(4-fluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methoxy- (9CI) (CA INDEX NAME)



RN 388596-46-1 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-(3,5-difluorobenzoyl)-2-(2-methoxyphenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



IT 388600-59-7, N-[2-[1-Benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methylbenzenesulfonamide
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (benzoazolidinylene)propanedione derivs. as GnRH receptor antagonists for treating sex hormone-dependent diseases)
RN 388600-59-7 HCAPLUS
CN Benzenesulfonamide, N-[2-[1-benzoyl-2-(3,5-difluorophenyl)-2-oxoethylidene]-2,3-dihydro-1H-benzimidazol-5-yl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:581738 HCAPLUS
DOCUMENT NUMBER: 135:175421
TITLE: Integrin expression inhibitors
INVENTOR(S): Wakabayashi, Toshiaki; Funahashi, Yasuhiro; Hata, Naoko; Semba, Taro; Yamamoto, Yuji; Haneda, Toru; Owa, Takashi; Tsuruoka, Akihiko; Kamata, Junichi; Okabe, Tadashi; Takahashi, Keiko; Nara, Kazumasa; Hamaoka, Shinichi; Ueda, Norihiro
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2001056607	A1	20010809	WO 2001-JP713		20010201 <--
W: AU, CA, CN, HU, JP, KR, MX, NO, NZ, RU, US					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
CA 2399001	A1	20010809	CA 2001-2399001		20010201 <--
AU 2001028867	A5	20010814	AU 2001-28867		20010201 <--
AU 781506	B2	20050526			
EP 1258252	A1	20021120	EP 2001-948941		20010201 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR					
HU 200300544	A2	20030728	HU 2003-544		20010201
NZ 520299	A	20040528	NZ 2001-520299		20010201
RU 2240826	C2	20041127	RU 2002-123580		20010201
US 2004018192	A1	20040129	US 2002-181562		20020718 <--
NO 2002003688	A	20021003	NO 2002-3688		20020802 <--
US 2005176712	A1	20050811	US 2005-97218		20050404 <--
PRIORITY APPLN. INFO.:			JP 2000-26080	A	20000203
			JP 2000-402084	A	20001228
			WO 2001-JP713	W	20010201
			US 2002-181562	A1	20020718

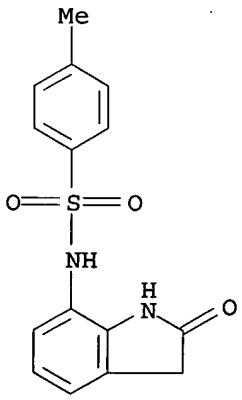
OTHER SOURCE(S): MARPAT 135:175421

AB Integrin expression inhibitors and remedies for arteriosclerosis, psoriasis, cancer, retinal angiogenesis, diabetic retinitis or inflammatory diseases, anticoagulant agents and cancerous metastasis inhibitors based on the integrin inhibitory effect. Namely, integrin expression inhibitors containing as the active ingredient sulfonamide compds. represented by the following general formula BKSO2N(R1)ZR, pharmacol. acceptable salts thereof or hydrates of the same wherein B represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated; K represents a single bond, -CH=CH- or -(CR4bR5b)mb- (wherein R4b and R5b may be the same or different and each represents hydrogen or C1-4 alkyl; and mb represents an integer of 1 or 2); R1 represents hydrogen or C1-6 alkyl; Z represents a single bond or CO-NH-; and R represents optionally substituted C6-10 aryl or 6- to 10-membered heteroaryl wherein the ring may be partly saturated

IT 165668-28-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(integrin expression inhibitors for medical uses)

RN 165668-28-0 HCAPLUS

CN Benzenesulfonamide, N-(2,3-dihydro-2-oxo-1H-indol-7-yl)-4-methyl- (9CI) (CA INDEX NAME)

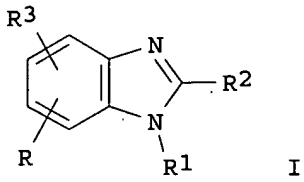


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 7 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:526062 HCAPLUS
DOCUMENT NUMBER: 135:107328
TITLE: Preparation of 1,2-diarylbenzimidazolealkanoates and analogs for treatment of disorders mediated by microglia activation
INVENTOR(S): Kuhnke, Joachim; Halfbrodt, Wolfgang; Moenning, Ursula
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 141 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE	
WO 2001051473	A1	20010719	WO 2001-EP334		20010112	<--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW						
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG						
CA 2396227	A1	20010719	CA 2001-2396227		20010112	<--
BR 2001007628	A	20021008	BR 2001-7628		20010112	<--
EP 1246808	A1	20021009	EP 2001-915133		20010112	<--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR						
HU 200204011	A2	20030528	HU 2002-4011		20010112	
JP 2003523961	T	20030812	JP 2001-551855		20010112	
EE 200200390	A	20031015	EE 2002-390		20010112	
NZ 519326	A	20050225	NZ 2001-519326		20010112	
AU 782993	B2	20050915	AU 2001-42332		20010112	
US 2002006948	A1	20020117	US 2001-759360		20010116	<--
US 7115645	B2	20061003				
IN 2002MN00672	A	20050304	IN 2002-MN672		20020524	
BG 106821	A	20030131	BG 2002-106821		20020613	
NO 2002003362	A	20020913	NO 2002-3362		20020712	<--
ZA 2002006470	A	20040219	ZA 2002-6470		20020813	
US 2006094770	A1	20060504	US 2005-299135		20051208	<--
US 2006205803	A1	20060914	US 2005-305521		20051216	<--
PRIORITY APPLN. INFO.:			DE 2000-10002898	A	20000114	
			US 2000-178324P	P	20000127	
			WO 2001-EP334	W	20010112	
			US 2001-759360	A3	20010116	

OTHER SOURCE(S): MARPAT 135:107328
GI



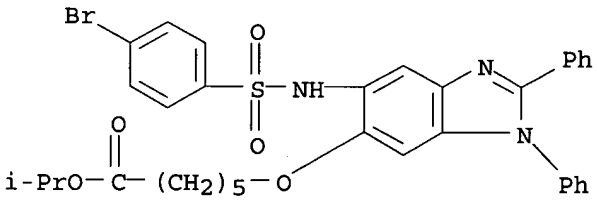
I

AB Title compds. [I; R = ZZ1R4; R1,R2 = (un)substituted (hetero)aryl; R3 = H, halo, substituted alkyl, alkoxy, etc.; R4 = CO2H, alkoxycarbonyl, CONH2, SO3H, etc.; Z = O, (alkyl)imino, acylimino; Z1 = (heteroatom-interrupted) alkyl(en)ylene, etc.] were prepared Thus, I (R1 = R2 = Ph, R3 = H)(II; R = 6-OH) was etherified by BrCH2CO2CHMe3 to give II (R = 6-OCH2CO2CHMe3). Data for biol. activity of I were given.

IT 350232-45-0P 350232-47-2P 350232-48-3P
350232-49-4P 350232-50-7P 350232-51-8P
350232-52-9P 350232-53-0P 350232-55-2P
350232-92-7P 350232-94-9P 350232-98-3P
350233-00-0P 350233-04-4P 350233-08-8P
350233-12-4P 350233-16-8P 350234-15-0P
350234-18-3P 350234-19-4P 350234-22-9P
350234-25-2P 350234-28-5P 350234-29-6P
350234-31-0P 350234-32-1P 350234-34-3P
350234-35-4P 350234-36-5P 350234-37-6P
350234-38-7P 350234-48-9P 350234-53-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,2-diarylbenzimidazolealkanoates and analogs for treatment of disorders mediated by microglia activation)

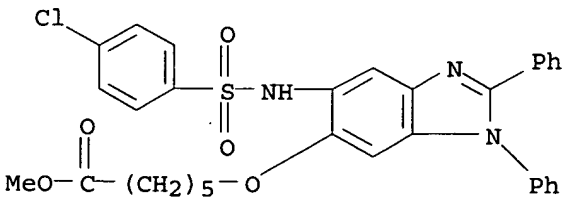
RN 350232-45-0 HCAPLUS

CN Hexanoic acid, 6-[[5-[[(4-bromophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

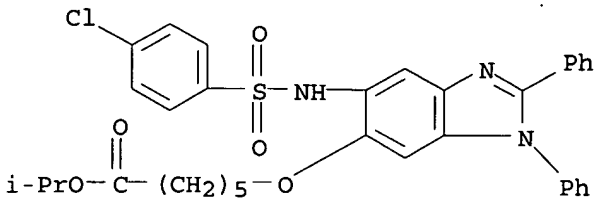


RN 350232-47-2 HCAPLUS

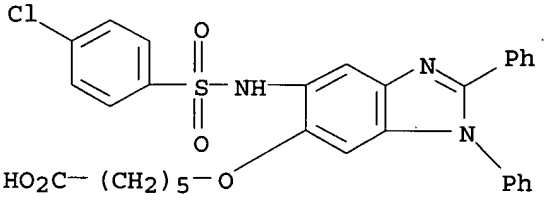
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



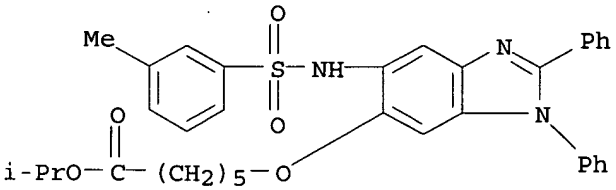
RN 350232-48-3 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



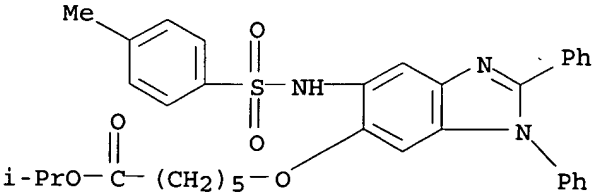
RN 350232-49-4 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]- (9CI) (CA INDEX NAME)



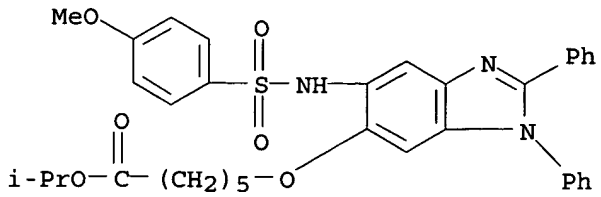
RN 350232-50-7 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(3-methylphenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



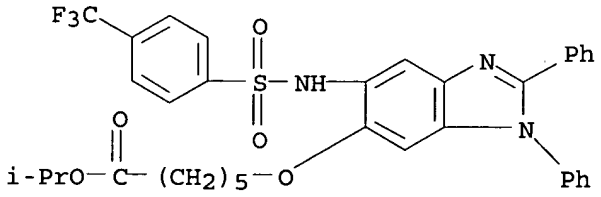
RN 350232-51-8 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-methylphenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



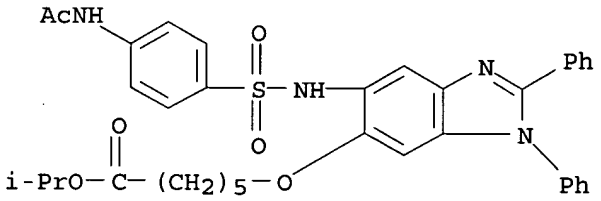
RN 350232-52-9 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-methoxyphenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



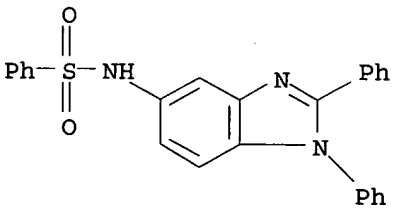
RN 350232-53-0 HCAPLUS
CN Hexanoic acid, 6-[[1,2-diphenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



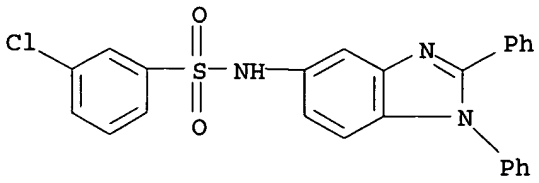
RN 350232-55-2 HCAPLUS
CN Hexanoic acid, 6-[[5-[[[4-(acetylamino)phenyl]sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)



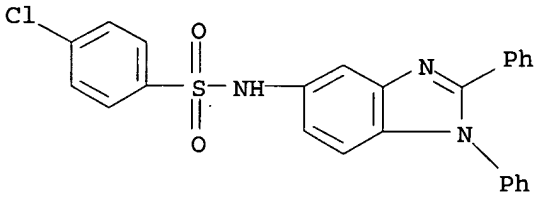
RN 350232-92-7 HCAPLUS
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (9CI) (CA INDEX NAME)



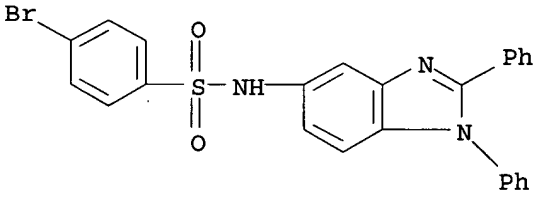
RN 350232-94-9 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (9CI) (CA INDEX NAME)



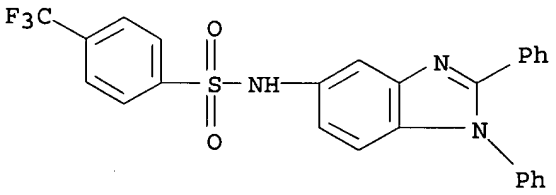
RN 350232-98-3 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (9CI) (CA INDEX NAME)



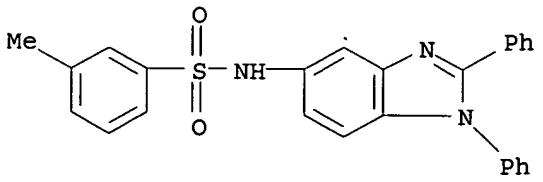
RN 350233-00-0 HCAPLUS
CN Benzenesulfonamide, 4-bromo-N-(1,2-diphenyl-1H-benzimidazol-5-yl)- (9CI) (CA INDEX NAME)



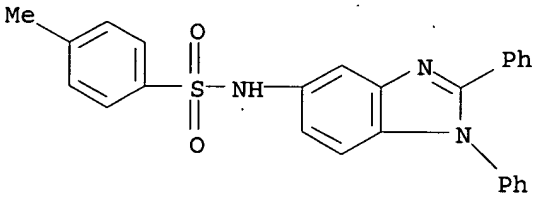
RN 350233-04-4 HCAPLUS
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



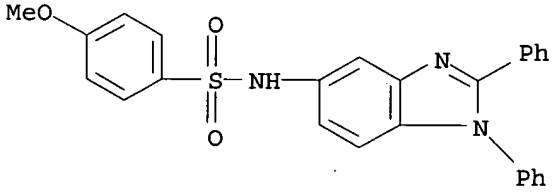
RN 350233-08-8 HCAPLUS
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-3-methyl- (9CI) (CA INDEX NAME)



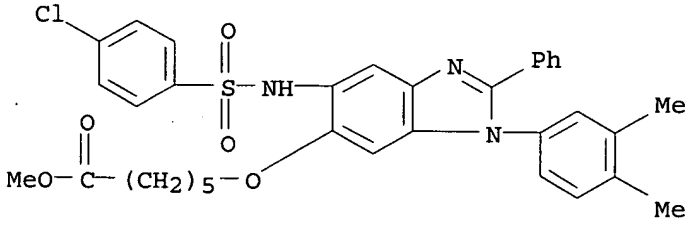
RN 350233-12-4 HCAPLUS
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-methyl- (9CI) (CA INDEX NAME)



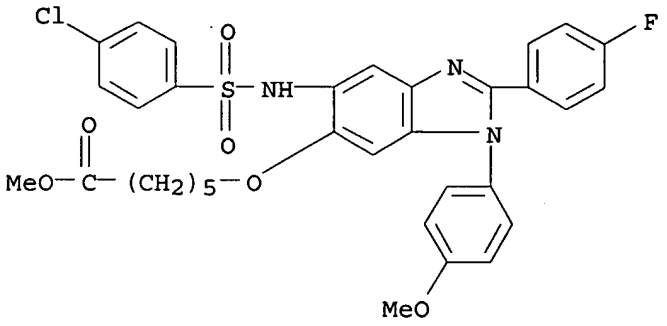
RN 350233-16-8 HCAPLUS
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-methoxy- (9CI) (CA INDEX NAME)



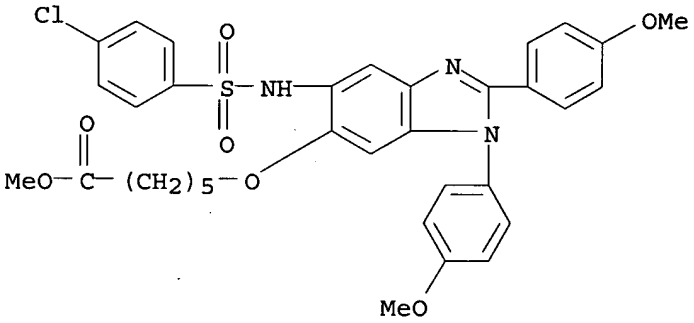
RN 350234-15-0 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1-(3,4-dimethylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



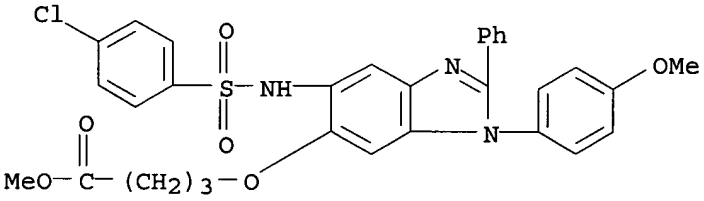
RN 350234-18-3 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-2-(4-fluorophenyl)-1-(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



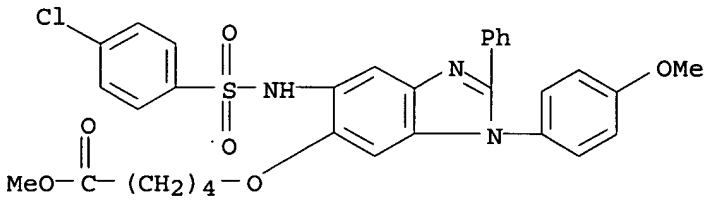
RN 350234-19-4 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1,2-bis(4-methoxyphenyl)-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



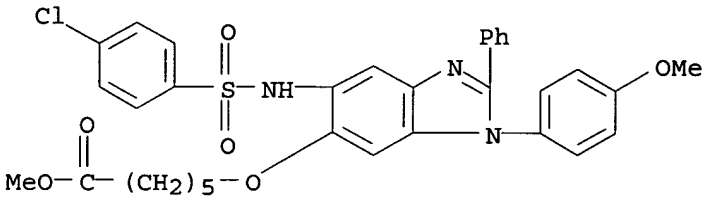
RN 350234-22-9 HCAPLUS
CN Butanoic acid, 4-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



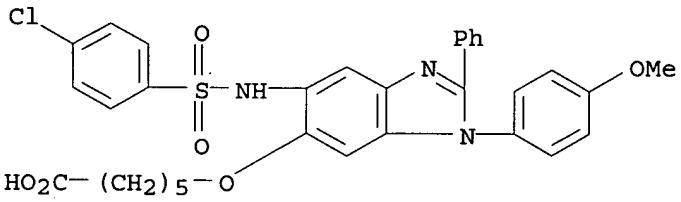
RN 350234-25-2 HCAPLUS
CN Pentanoic acid, 5-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



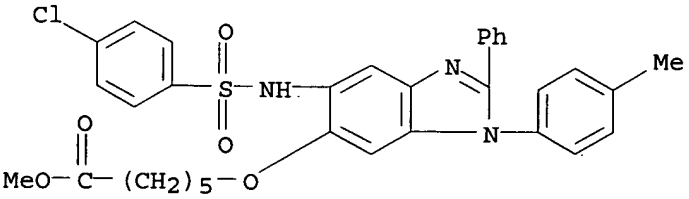
RN 350234-28-5 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



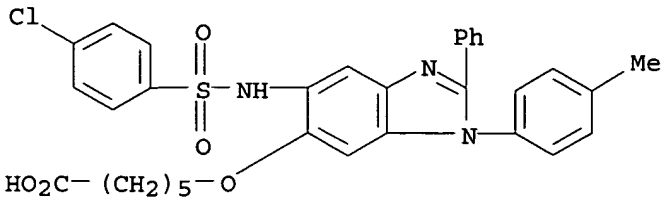
RN 350234-29-6 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]- (9CI) (CA INDEX NAME)



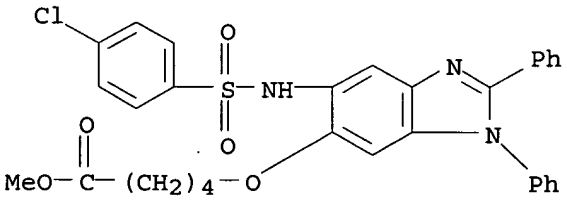
RN 350234-31-0 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



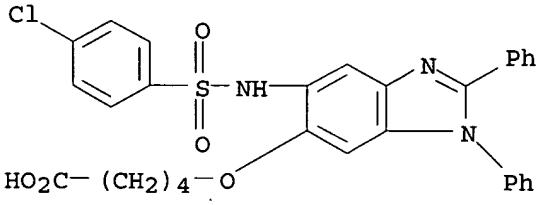
RN 350234-32-1 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1-(4-methylphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]- (9CI) (CA INDEX NAME)



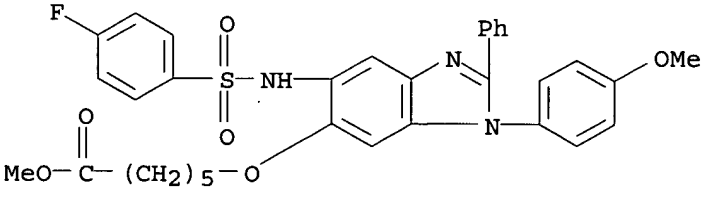
RN 350234-34-3 HCAPLUS
CN Pentanoic acid, 5-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



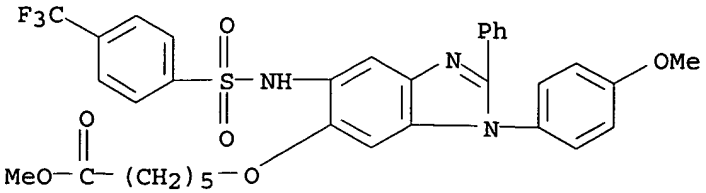
RN 350234-35-4 HCAPLUS
CN Pentanoic acid, 5-[[5-[[(4-chlorophenyl)sulfonyl]amino]-1,2-diphenyl-1H-benzimidazol-6-yl]oxy]- (9CI) (CA INDEX NAME)



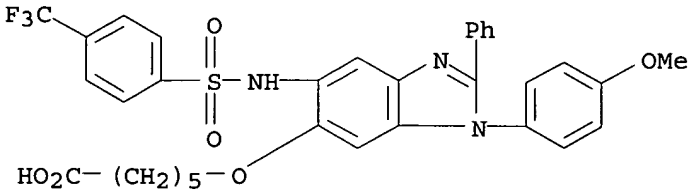
RN 350234-36-5 HCAPLUS
CN Hexanoic acid, 6-[[5-[[(4-fluorophenyl)sulfonyl]amino]-1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



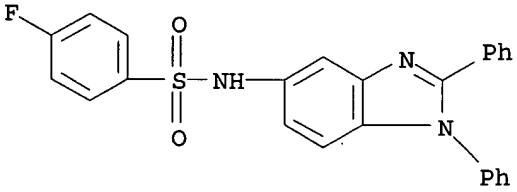
RN 350234-37-6 HCAPLUS
CN Hexanoic acid, 6-[[1-(4-methoxyphenyl)-2-phenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



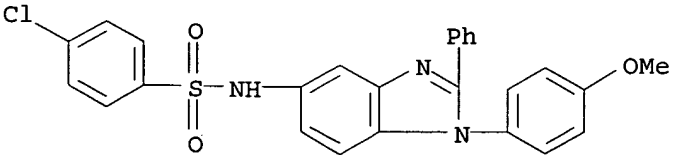
RN 350234-38-7 HCAPLUS
CN Hexanoic acid, 6-[[1-(4-methoxyphenyl)-2-phenyl-5-[[[4-(trifluoromethyl)phenyl]sulfonyl]amino]-1H-benzimidazol-6-yl]oxy]- (9CI) (CA INDEX NAME)



RN 350234-48-9 HCAPLUS
CN Benzenesulfonamide, N-(1,2-diphenyl-1H-benzimidazol-5-yl)-4-fluoro- (9CI) (CA INDEX NAME)



RN 350234-53-6 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-[1-(4-methoxyphenyl)-2-phenyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

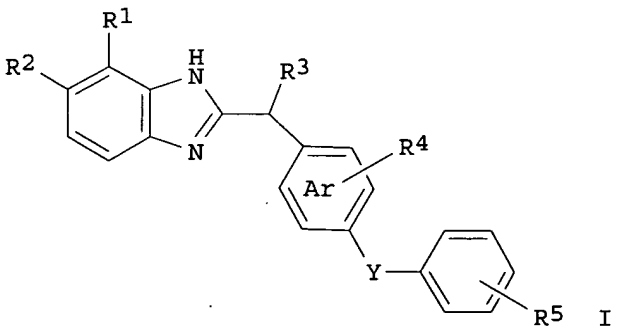
L15 ANSWER 8 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:338351 HCAPLUS
DOCUMENT NUMBER: 134:340508
TITLE: Preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists

INVENTOR(S): McCauley, John A.; Theberge, Cory R.; Liverton, Nigel J.; Claremon, David A.; Claiborne, Christopher F.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032174	A1	20010510	WO 2000-US29470	20001026 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6316474	B1	20011113	US 2000-696501	20001025 <--
CA 2389259	A1	20010510	CA 2000-2389259	20001026 <--
EP 1242076	A1	20020925	EP 2000-975393	20001026 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003513041	T	20030408	JP 2001-534379	20001026

PRIORITY APPLN. INFO.: US 1999-162351P P 19991029
WO 2000-US29470 W 20001026

OTHER SOURCE(S): MARPAT 134:340508
GI



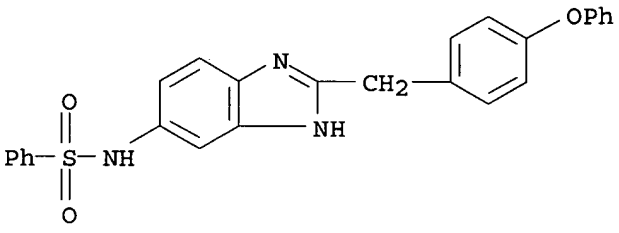
I

AB Novel benzimidazoles, substituted in the 2-position by substituted benzyl groups or heteroaryl groups, (I) [wherein R1, R2, R4, and R5 = independently H, Cl, F, OH, OMe, CF3, OCF3, NH2, CN, NO2, (amino)alkyl, aryl, alkylcarbonylamino, oxohydroxydibenzopyranyl-substituted carboxyphenylthioureido or carbonylaminoalkylcarbonylamino, R6SO2NH, R6SO2NMe, or R6SO2NHCH2; R3 = H, OH, NH2, alkylamino, arylamino, or :O; R6 = (un)substituted alkyl, (phenyl)alkenyl, Ph, naphthyl, or heterocyclic group; Y = O, NH, (CH2)nCO(CH2)n, or (CH2)nCHR3(CH2)n; n = 0-5; Ar may be substituted with 0-3 N atoms in positions 2, 3, 5, or 6] were prepared as

effective NMDA NR2B glutamate receptor antagonists. For example, cycloaddn. of phenylenediamine and (4-phenoxyphenyl)acetic acid in presence of EDC and HOBt in DMF afforded 2-(4-phenoxybenzyl)-1H-benzimidazole. Exptl. protocols for assessing the inhibition of NR1A/2B NMDA receptor activation (FLIPR assay) and determining the apparent dissociation consts. against the human NR1A/NR2B receptor (binding assay) are given (no data). I are useful for relieving pain and treating depression, schizophrenia, Parkinson's disease, or stroke (no data).

IT 337965-02-3P 337965-03-4P 337965-05-6P
337965-07-8P 337965-09-0P 337965-11-4P
337965-13-6P 337965-15-8P 337965-17-0P
337965-19-2P 337965-21-6P 337965-23-8P
337965-25-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-benzyl and 2-heteroaryl benzimidazole NMDA/NR2B antagonists by cycloaddn. of phenylenediamines with arylacetates)

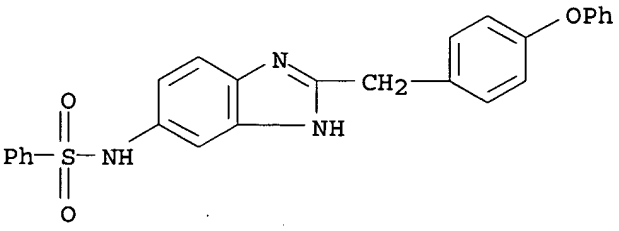
RN 337965-02-3 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 337965-03-4 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

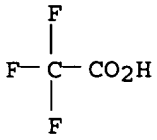
CM 1

CRN 337965-02-3
CMF C26 H21 N3 O3 S



CM 2

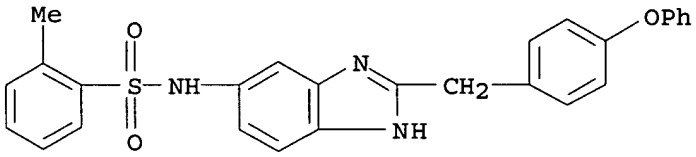
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-05-6 HCAPLUS
CN Benzenesulfonamide, 2-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

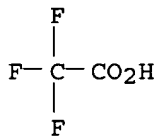
CM 1

CRN 337965-04-5
CMF C27 H23 N3 O3 S



CM 2

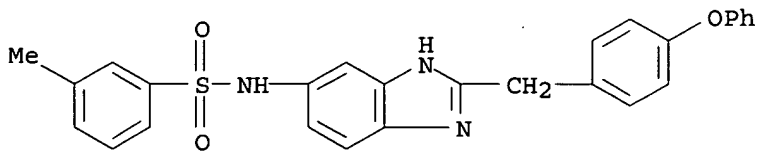
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-07-8 HCAPLUS
CN Benzenesulfonamide, 3-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

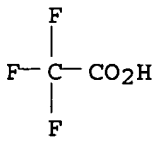
CM 1

CRN 337965-06-7
CMF C27 H23 N3 O3 S



CM 2

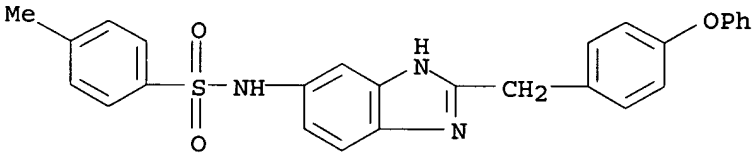
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-09-0 HCAPLUS
CN Benzenesulfonamide, 4-methyl-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

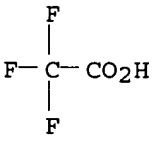
CM 1

CRN 337965-08-9
CMF C27 H23 N3 O3 S



CM 2

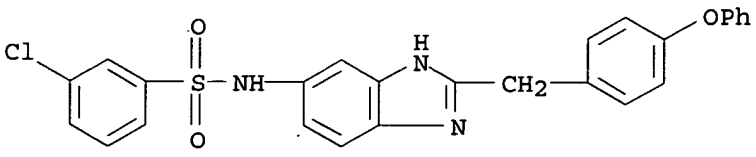
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-11-4 HCAPLUS
CN Benzenesulfonamide, 3-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

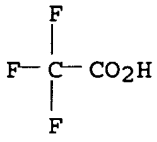
CM 1

CRN 337965-10-3
CMF C26 H20 Cl N3 O3 S



CM 2

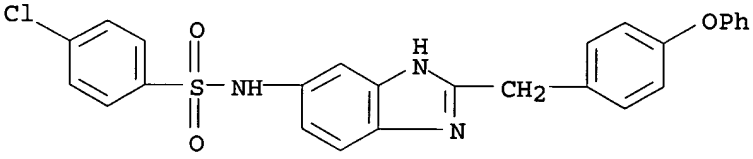
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-13-6 HCAPLUS
CN Benzenesulfonamide, 4-chloro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

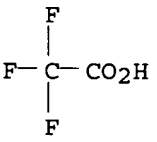
CM 1

CRN 337965-12-5
CMF C26 H20 Cl N3 O3 S



CM 2

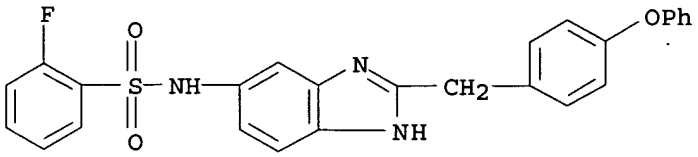
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-15-8 HCAPLUS
CN Benzenesulfonamide, 2-fluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

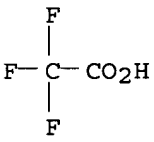
CM 1

CRN 337965-14-7
CMF C26 H20 F N3 O3 S



CM 2

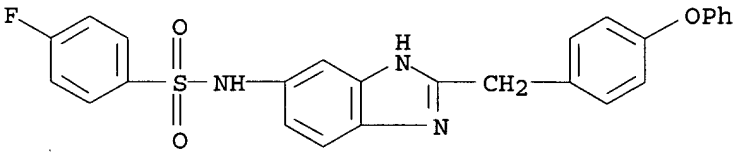
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-17-0 HCAPLUS
CN Benzenesulfonamide, 4-fluoro-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

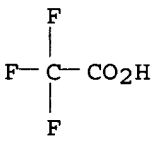
CM 1

CRN 337965-16-9
CMF C26 H20 F N3 O3 S



CM 2

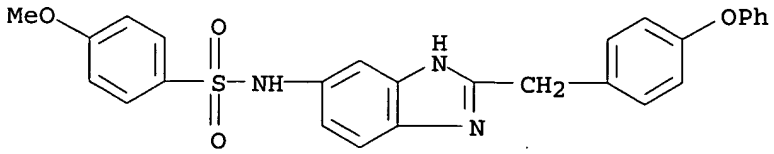
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-19-2 HCAPLUS
CN Benzenesulfonamide, 4-methoxy-N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

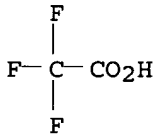
CM 1

CRN 337965-18-1
CMF C27 H23 N3 O4 S



CM 2

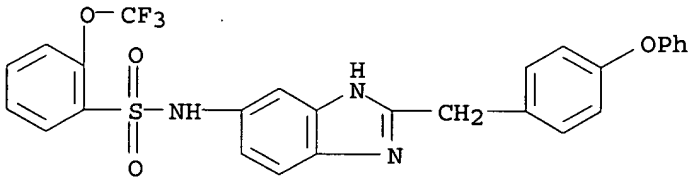
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-21-6 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-2-(trifluoromethoxy)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

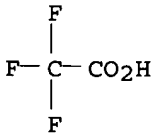
CM 1

CRN 337965-20-5
CMF C27 H20 F3 N3 O4 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2

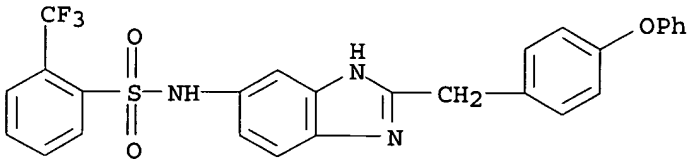


RN 337965-23-8 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-2-

(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

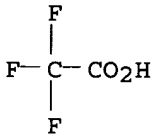
CM 1

CRN 337965-22-7
CMF C27 H20 F3 N3 O3 S



CM 2

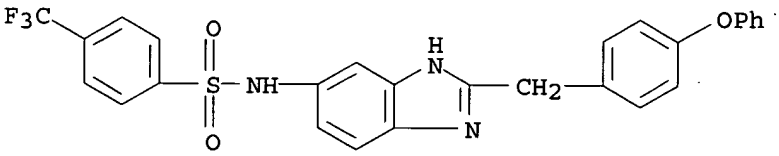
CRN 76-05-1
CMF C2 H F3 O2



RN 337965-25-0 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-phenoxyphenyl)methyl]-1H-benzimidazol-5-yl]-4-(trifluoromethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

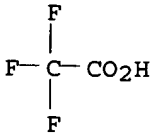
CM 1

CRN 337965-24-9
CMF C27 H20 F3 N3 O3 S



CM 2

CRN 76-05-1
CMF C2 H F3 O2



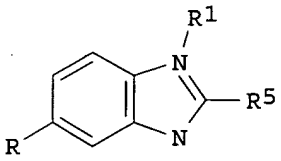
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:224233 HCAPLUS
DOCUMENT NUMBER: 134:252337
TITLE: Preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors
INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans; Disse, Bernd; Hoenke, Christoph; Jennewein, Hans Michael; Speck, Georg
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945810	A1	20010329	DE 1999-19945810	19990924 <--
CA 2382892	A1	20010405	CA 2000-2382892	20000921 <--
WO 2001023359	A1	20010405	WO 2000-EP9236	20000921 <--
W: AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6413990	B1	20020702	US 2000-666769	20000921 <--
EP 1220845	A1	20020710	EP 2000-969275	20000921 <--
EP 1220845	B1	20030813		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
JP 2003510309	T	20030318	JP 2001-526513	20000921
AT 247092	T	20030815	AT 2000-969275	20000921

PRIORITY APPLN. INFO.:			
DE 1999-19945810	A	19990924
US 1999-157389P	P	19991001
WO 2000-EP9236	W	20000921

OTHER SOURCE(S): MARPAT 134:252337
GI



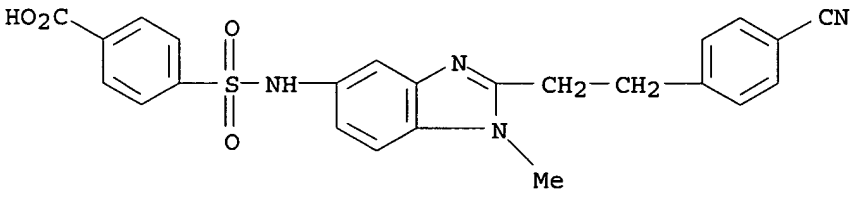
I

AB Title compds. (I; R5 = CH2CH2C6H4R2-4)[II; R = NR4SO2R3; R1 = (cyclo)alkyl, (un)substituted phenylalkyl, etc.; R2 = C(:NH)NH2 or CH2NH2; R3 = (un)substituted Ph, -naphthyl, -(benzo)thienyl, etc.; R4 = H, aminoalkyl, ureidoalkyl, etc.] were prepared Thus, 2-fluoro-5-nitroaniline was aminated and the product cyclocondensed with 4-(NC)C6H4CH2CH2CO2H to give, after reduction, II (R1 = Me)(III; R = NH2, R2 = cyano) which was amidated and the product converted in 4 steps to III [R =

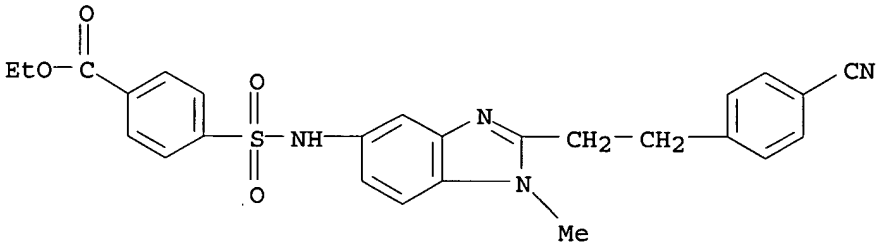
4-(MeO2C)C6H4SO2N(CH2CH2NEt2), R2 = C(:NH)NH2]. Data for biol. activity of I were given.

IT 331449-67-3P 331449-68-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-[(amindinophenethyl)benzimidazolyl]benzenesulfonamides and analogs as tryptase inhibitors)

RN 331449-67-3 HCAPLUS
CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]- (9CI) (CA INDEX NAME)



RN 331449-68-4 HCAPLUS
CN Benzoic acid, 4-[[[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



L15 ANSWER 10 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:224232 HCAPLUS
DOCUMENT NUMBER: 134:266307
TITLE: Preparation of 2-arylethyl-5-arylsulfonamidobenzimidazoles as tryptase inhibitors.
INVENTOR(S): Anderskewitz, Ralf; Braun, Christine; Briem, Hans; Disse, Bernd; Hoenke, Christoph; Jennewein, Hans Michael; Speck, Georg
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19945787	A1	20010329	DE 1999-19945787	19990924 <--
CA 2379557	A1	20010405	CA 2000-2379557	20000921 <--
WO 2001023360	A1	20010405	WO 2000-EP9237	20000921 <--

W: AE, AU, BG, BR, CA, CN, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT,

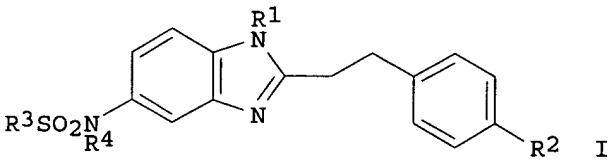
LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, UZ, VN, YU, ZA,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE

US 6365584	B1	20020402	US 2000-666765		20000921 <--
EP 1220844	A1	20020710	EP 2000-960686		20000921 <--
EP 1220844	B1	20030409			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY

JP 2003510310	T	20030318	JP 2001-526514		20000921
AT 236887	T	20030415	AT 2000-960686		20000921
PT 1220844	T	20030829	PT 2000-960686		20000921
ES 2192543	T3	20031016	ES 2000-960686		20000921
PRIORITY APPLN. INFO.:			DE 1999-19945787	A	19990924
			US 1999-157278P	P	19991001
			WO 2000-EP9237	W	20000921

OTHER SOURCE(S): MARPAT 134:266307
GI



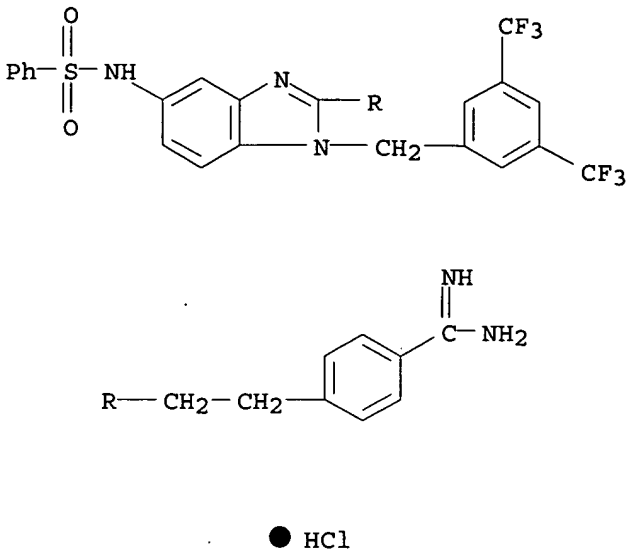
I

AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, phenylalkyl, heterocyclyl, heterocyclylalkyl; R2 = C(:NH)NH2, CH2NH2; R3 = Ph, PhCH2, naphthyl, furyl, benzofuryl, thienyl, benzothienyl; R4 = H, (substituted) alkyl, heterocyclyl, heterocyclylalkyl, etc.], were prepared Thus, N-[3-amino-4-(3,5-bistifluoromethylbenzylamino)phenyl]benzenesulfonamide (preparation given), p-cyanophenylpropionic acid, and POCl3 were heated together for 2 h at 100-120° to give 71.5% N-[2-[2-(4-cyanophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. This was stirred with HCl in EtOH at 0-5° and the residue after distillation of EtOH was treated with NH3 in EtOH to give 70.3% N-[2-[2-(4-amidinophenyl)ethyl]-1-(3,5-bistrifluoromethylbenzyl)benzimidazol-5-yl]benzenesulfonamide. I inhibited tryptase with IC50 = 0.0066-0.412 μM.

IT 331766-13-3P 331766-20-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)

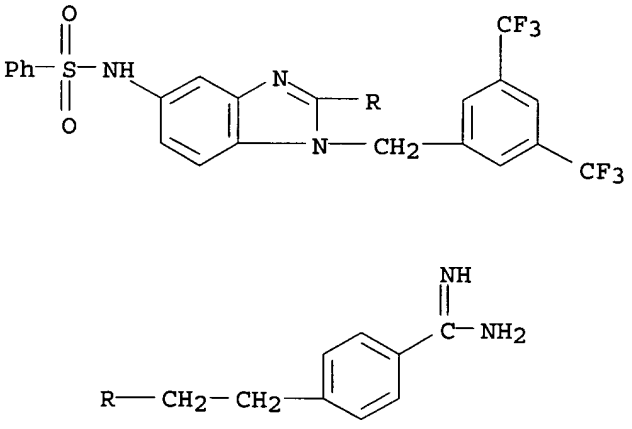
RN 331766-13-3 HCAPLUS

CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

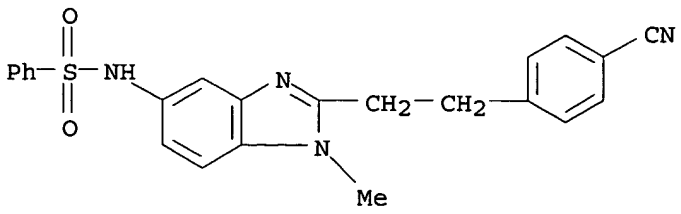


● HCl

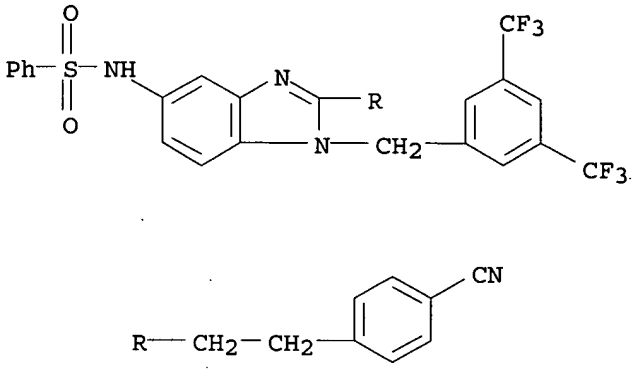
RN 331766-20-2 HCAPLUS
CN Benzenecarboximidamide, 4-[2-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (9CI) (CA INDEX NAME)



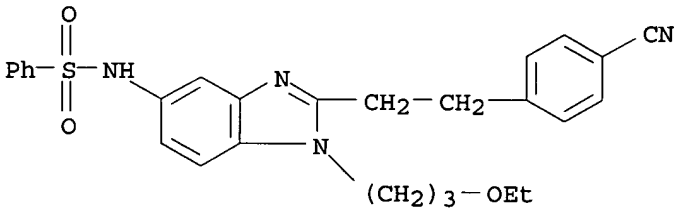
IT 256493-19-3P 331766-54-2P 331766-59-7P
331766-62-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of arylethylarylsulfonamidobenzimidazoles as tryptase inhibitors)
RN 256493-19-3 HCAPLUS
CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



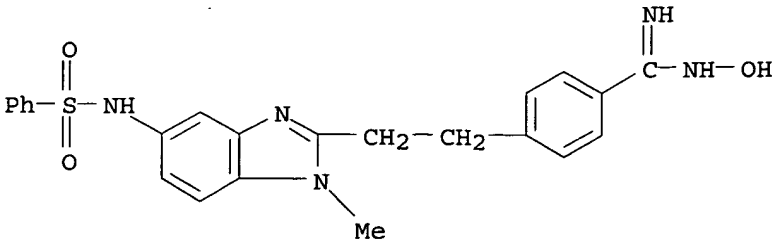
RN 331766-54-2 HCAPLUS
CN Benzenesulfonamide, N-[1-[[3,5-bis(trifluoromethyl)phenyl]methyl]-2-[2-(4-cyanophenyl)ethyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 331766-59-7 HCAPLUS
CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-(3-ethoxypropyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



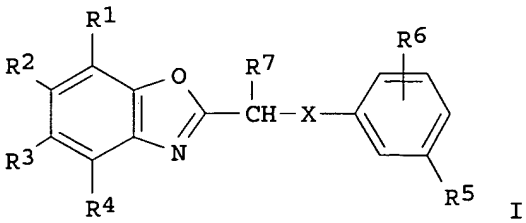
RN 331766-62-2 HCAPLUS
CN Benzenecarboximidamide, N-hydroxy-4-[2-[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]ethyl]- (9CI) (CA INDEX NAME)



L15 ANSWER 11 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:790487 HCAPLUS
DOCUMENT NUMBER: 133:335229
TITLE: Preparation of benzoxazole compounds, process for the preparation thereof and herbicides
INVENTOR(S): Fukuda, Shohei; Nakamura, Akira; Shimizu, Motohisa; Okada, Tatsuo; Asahara, Takehiko; Oohida, Satoshi
PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066569	A1	20001109	WO 2000-JP2760	20000427 <--
W: BR, CA, CN, IN, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2371681	A1	20001109	CA 2000-2371681	20000427 <--
JP 2001011061	A	20010116	JP 2000-126933	20000427 <--
BR 2000010703	A	20020219	BR 2000-10703	20000427 <--
EP 1180515	A1	20020220	EP 2000-921051	20000427 <--
EP 1180515	B1	20040414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
AT 264314	T	20040415	AT 2000-921051	20000427
ES 2219332	T3	20041201	ES 2000-921051	20000427
US 6706664	B1	20040316	US 2001-959544	20011030 <--

PRIORITY APPLN. INFO.: JP 1999-124912 A 19990430
WO 2000-JP2760 W 20000427
OTHER SOURCE(S): MARPAT 133:335229
GI



I

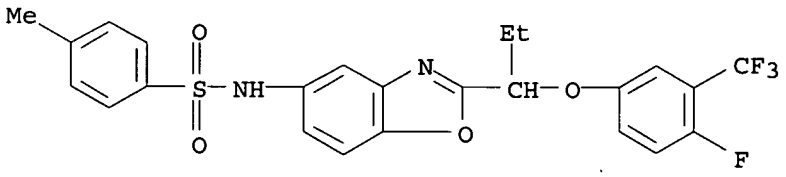
AB Claimed are benzoxazole compds. represented by general formula (I; wherein R1 to R4 are each hydrogen, C1-6 alkyl, C1-4 alkoxy, C1-4 haloalkyl, C1-4 haloalkoxy, halogeno, nitro, cyano, or the like; R5 is C1-4 haloalkyl, C1-4 haloalkoxy, halogeno, nitro, cyano, or the like; R6 is hydrogen, halogeno, cyano, nitro, or the like; R7 is hydrogen, C1-6 alkyl, C1-4 haloalkyl, or the like; and X is O, S, SO, or SO2); process for the preparation of them; and herbicides containing the same as the active ingredient. Thus, chlorination of 2-[4-fluoro-3-(trifluoromethyl)phenoxy]butanoic acid with SOCl2 under reflux for 2 h gave 2-[4-fluoro-3-

(trifluoromethyl)phenoxy]butanoyl chloride which underwent cyclocondensation with 2-amino-4-fluorophenol in AcOH at 50-60° for 1 h to give 1-(5-fluorobenzoxazol-2-yl)-1-[4-fluoro-3-(trifluoromethyl)phenoxy]propane (II). II at 500 g/ha (preemergent soil-treatment) completely controlled Digitaria ciliaris, Echinochloa crus-galli, Setaria viridis, and Poa annua and gave no damage to corn, soy bean, cotton, and wheat plants.

IT 303183-23-5P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazole compds., process for preparation thereof and herbicides)

RN 303183-23-5 HCAPLUS

CN Benzenesulfonamide, N-[2-[1-[4-fluoro-3-(trifluoromethyl)phenoxy]propyl]-5-benzoxazolyl]-4-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

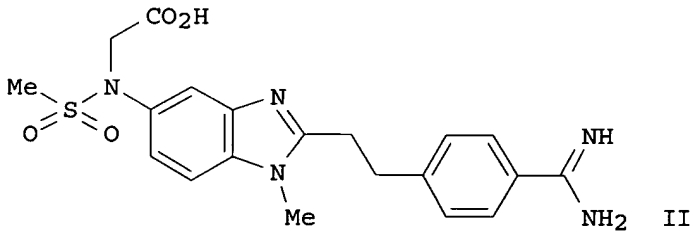
L15 ANSWER 12 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:83221 HCAPLUS
DOCUMENT NUMBER: 132:137386
TITLE: Preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors
INVENTOR(S): Hauel, Norbert; Ries, Uwe; Priepke, Henning; Mihm, Gerhard; Wienen, Wolfgang; Stassen, Jean Marie; Binder, Klaus; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 58 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19834751	A1	20000203	DE 1998-19834751	19980801 <--
US 6121308	A	20000919	US 1999-359487	19990722 <--
CA 2337825	A1	20000217	CA 1999-2337825	19990727 <--
WO 2000008014	A1	20000217	WO 1999-EP5371	19990727 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952885	A	20000228	AU 1999-52885	19990727 <--

EP 1100795	A1	20010523	EP 1999-938353	19990727 <--
EP 1100795	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002522432	T	20020723	JP 2000-563647	19990727 <--
AT 268763	T	20040615	AT 1999-938353	19990727
PT 1100795	T	20041029	PT 1999-938353	19990727
ES 2223177	T3	20050216	ES 1999-938353	19990727
PRIORITY APPLN. INFO.:			DE 1998-19834751 A	19980801
			US 1998-98838P P	19980902
			WO 1999-EP5371 W	19990727

OTHER SOURCE(S): MARPAT 132:137386
GI



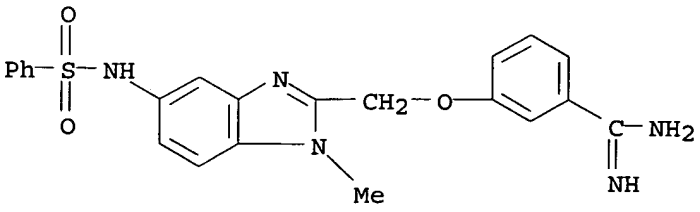
II

AB RaZ2Z1ZR [I; R = cyano or C(:NH)NHRb; Ra = (alkyl)amino, phenylalkoxy, NR4COR3, etc.; Rb = H, OH, alkyl, metabolically labile group; Z = (un)substituted (hetero)arylene; Z1 = (alkyl-substituted) CH2CH2, -OCH2, -CH2O, -NHCH2, etc.; Z2 = indole-, benzimidazole-, benzoxazole-n,2-diyl, quinolinediyl, etc.; n = 4-7] were prepared Thus, 2-methylamino-5-nitroaniline was cyclocondensed with HO2CCH2CH2C6H4(CN)-4 and the reduced product N-substituted by, successively, MeSO2Cl and BrCH2CO2Et to give, after aminolysis and saponification, title compound II. Data for biol. activity of I were given.

IT 256491-55-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

RN 256491-55-1 HCAPLUS

CN Benzenecarboximidamide, 3-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methoxy]- (9CI) (CA INDEX NAME)

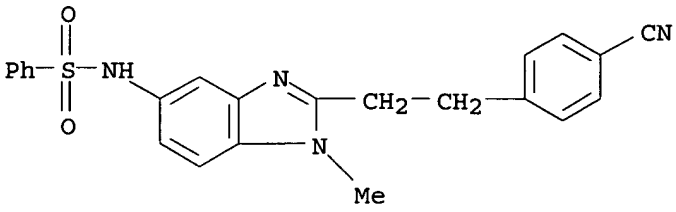


IT 256493-19-3 256493-38-6
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

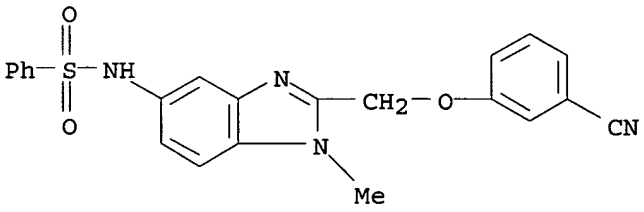
RN 256493-19-3 HCAPLUS

CN Benzenesulfonamide, N-[2-[2-(4-cyanophenyl)ethyl]-1-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 256493-38-6 HCAPLUS

CN Benzenesulfonamide, N-[2-[(3-cyanophenoxy)methyl]-1-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



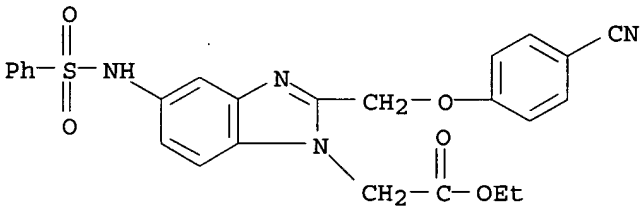
IT 256492-55-4P 256492-57-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclylalkylbenzamidines and analogs as thrombin inhibitors)

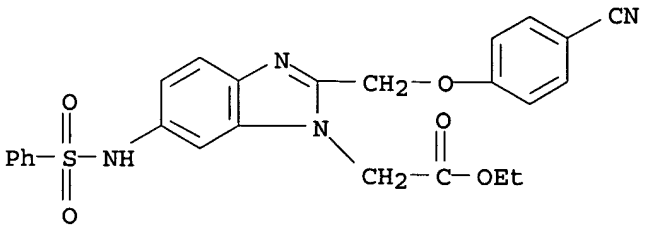
RN 256492-55-4 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 256492-57-6 HCAPLUS

CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenoxy)methyl]-6-[(phenylsulfonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



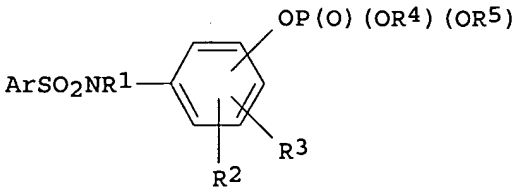
L15 ANSWER 13 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:819384 HCAPLUS
DOCUMENT NUMBER: 132:64058
TITLE: Preparation and antitumor activity of arylsulfonanilide phosphates
INVENTOR(S): Houze, Jonathan B.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9967258	A1	19991229	WO 1999-US13759	19990616 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335559	A1	19991229	CA 1999-2335559	19990616 <--
AU 9945768	A	20000110	AU 1999-45768	19990616 <--
AU 763687	B2	20030731		
EP 1090014	A1	20010411	EP 1999-928777	19990616 <--
EP 1090014	B1	20030903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002518506	T	20020625	JP 2000-555910	19990616 <--
AT 248845	T	20030915	AT 1999-928777	19990616
US 6211167	B1	20010403	US 2000-595398	20000614 <--
US 2001018430	A1	20010830	US 2001-779419	20010207 <--
US 6417176	B2	20020709		

PRIORITY APPLN. INFO.:

US 1998-90681P	P	19980625
WO 1999-US13759	W	19990616
US 1999-336062	B1	19990618
US 2000-595398	A1	20000614

OTHER SOURCE(S): MARPAT 132:64058
GI



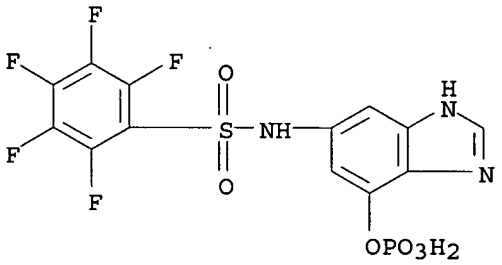
I

AB The title compds. I [R1 = H, alkyl, heteroalkyl; R2, R3 = H, halo, alkyl, etc.; R2 and R3 when attached to adjacent C atoms can form a ring; R4, R5 = H, alkyl, aryl, etc.; Ar = substituted Ph] were prepared and their antitumor activity assessed. E.g., 5-(pentafluorophenylsulfonamido)-2-methoxyphenyl phosphate was prepared

IT 253141-42-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antitumor activity of arylsulfonanilide phosphates)

RN 253141-42-3 HCAPLUS

CN Benzenesulfonamide, 2,3,4,5,6-pentafluoro-N-[7-(phosphonooxy)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 14 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:505930 HCAPLUS
DOCUMENT NUMBER: 131:157761
TITLE: 5-Membered heterocyclic condensed benzo derivatives, their preparation, and their use as drugs
INVENTOR(S): Ries, Uwe; Hauel, Norbert; Mihm, Gerhard; Priepke, Henning; Binder, Klaus; Stassen, Jean Marie; Wienen, Wolfgang; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 94 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19804085	A1	19990805	DE 1998-19804085	19980203 <--
CA 2319494	A1	19990812	CA 1999-2319494	19990128 <--
WO 9940072	A1	19990812	WO 1999-EP537	19990128 <--

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

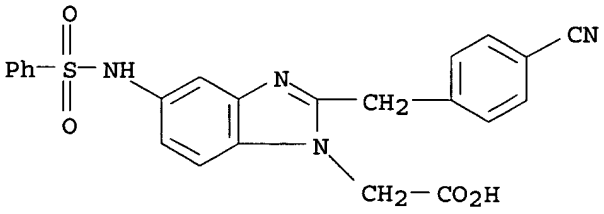
AU 9927201	A	19990823	AU 1999-27201		19990128 <--
EP 1060166	A1	20001220	EP 1999-907437		19990128 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2002502844	T	20020129	JP 2000-530502		19990128 <--
US 6114532	A	20000905	US 1999-243200		19990202 <--
PRIORITY APPLN. INFO.:			DE 1998-19804085	A	19980203
			US 1998-77694P	P	19980312
			DE 1998-19834325	A	19980730
			WO 1999-EP537	W	19990128

OTHER SOURCE(S): MARPAT 131:157761

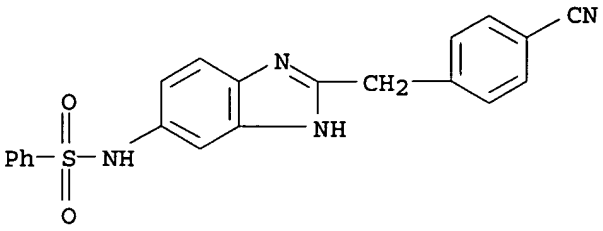
AB Approx. 300 antithrombotic title compds. such as 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (I), 4-[5-[N-(benzenesulfonyl)-N-[2-(dimethylamino)ethyl]amino]-1-benzyl-1H-benzimidazol-2-ylmethyl]benzamidine dihydrochloride, 4-[5-[N-(3-carboxypropionyl)-N-(cyclopentyl)amino]-1-methyl-1H-benzimidazol-2-ylmethyl]benzamidine hydrochloride (II), and 4-[5-[N-(8-quinolylsulfonyl)-N-(carboxymethyl)amino]-1-methyl-1H-benzothiazol-2-ylmethyl]benzamidine hydrochloride were prepared by standard methods. The ED200 in μM for I was 0.92 and for II was 0.82. Formulations for the antithrombotics were given.

IT 236418-60-3 237750-73-1 237750-74-2
237750-75-3 237750-76-4 237750-77-5
237750-78-6 237750-80-0 237750-86-6
237750-87-7 237750-88-8 237750-92-4
237751-01-8 237751-21-2 237752-02-2
237752-09-9 237752-10-2 237752-11-3
237752-12-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)

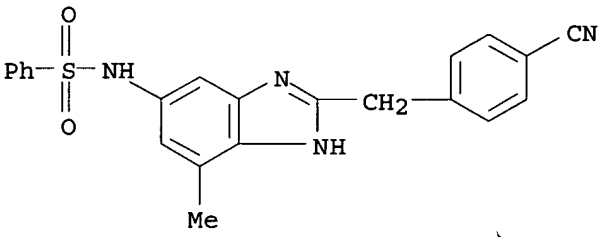
RN 236418-60-3 HCAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



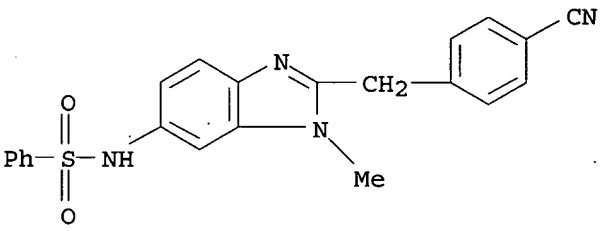
RN 237750-73-1 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



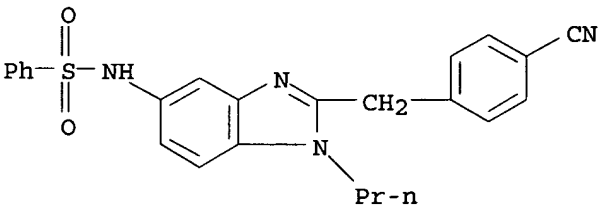
RN 237750-74-2 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-7-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



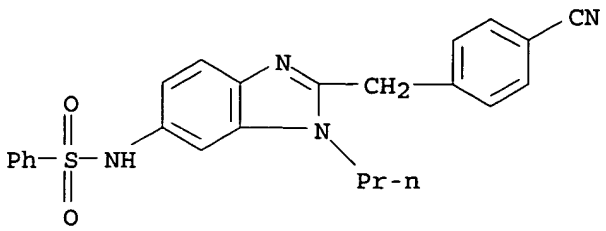
RN 237750-75-3 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-6-yl]- (9CI) (CA INDEX NAME)



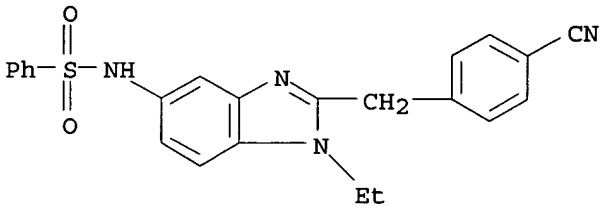
RN 237750-76-4 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



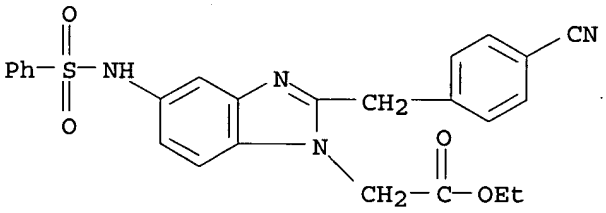
RN 237750-77-5 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-propyl-1H-benzimidazol-6-yl]- (9CI) (CA INDEX NAME)



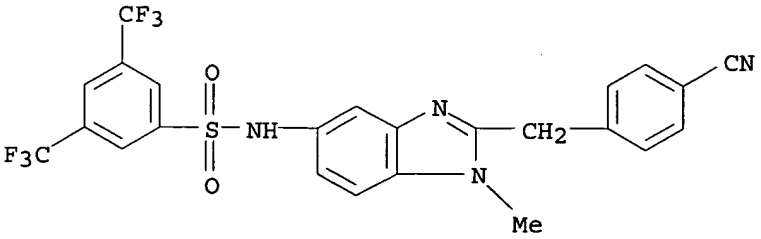
RN 237750-78-6 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-ethyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



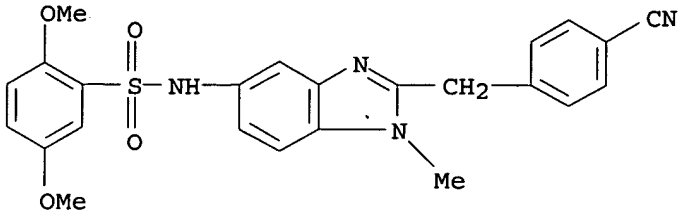
RN 237750-80-0 HCAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



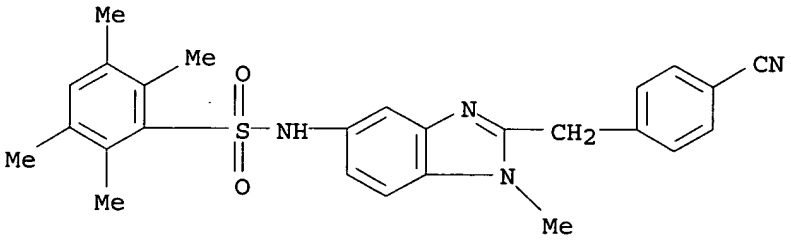
RN 237750-86-6 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-3,5-bis(trifluoromethyl)- (9CI) (CA INDEX NAME)



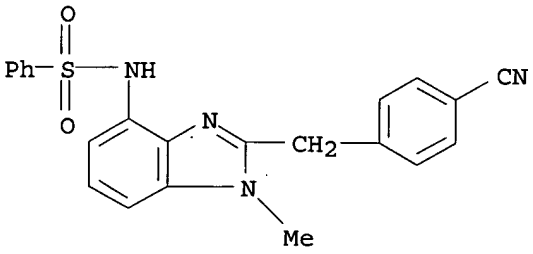
RN 237750-87-7 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-2,5-dimethoxy- (9CI) (CA INDEX NAME)



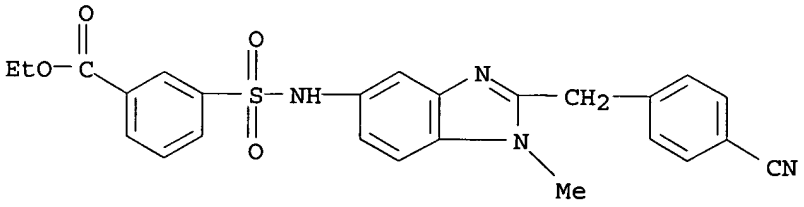
RN 237750-88-8 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]-2,3,5,6-tetramethyl- (9CI) (CA INDEX NAME)



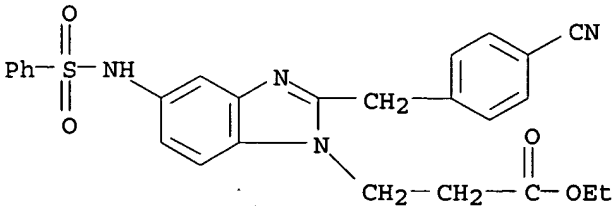
RN 237750-92-4 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-4-yl]- (9CI) (CA INDEX NAME)



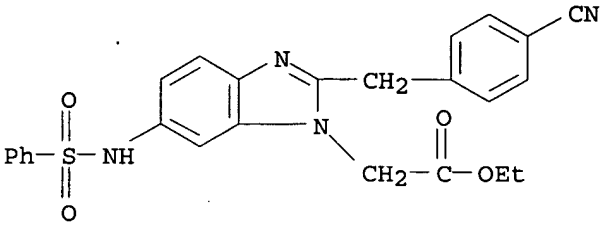
RN 237751-01-8 HCAPLUS
CN Benzoic acid, 3-[[[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)



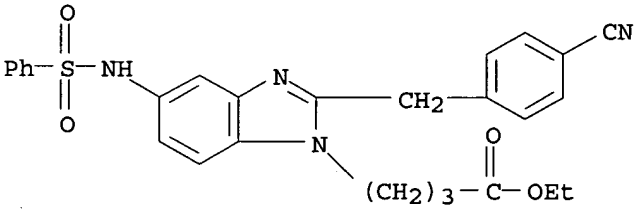
RN 237751-21-2 HCAPLUS
CN 1H-Benzimidazole-1-propanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



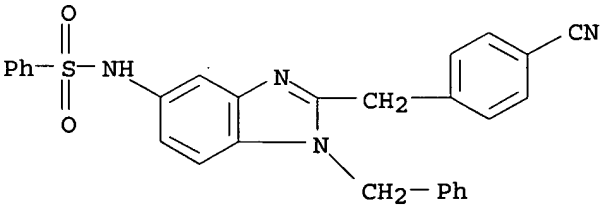
RN 237752-02-2 HCAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-[(4-cyanophenyl)methyl]-6-[(phenylsulfonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



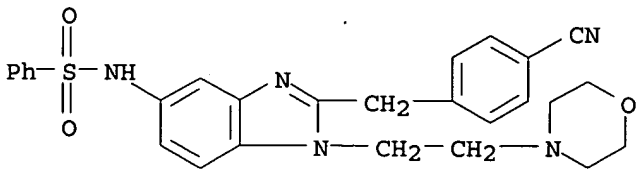
RN 237752-09-9 HCAPLUS
CN 1H-Benzimidazole-1-butanoic acid, 2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester (9CI) (CA INDEX NAME)



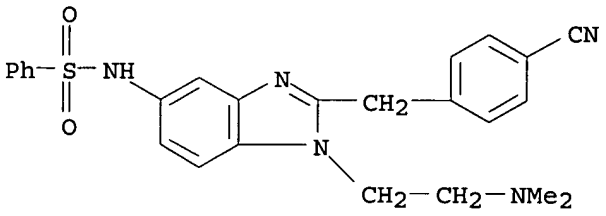
RN 237752-10-2 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-(phenylmethyl)-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



RN 237752-11-3 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(4-morpholinyl)ethyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

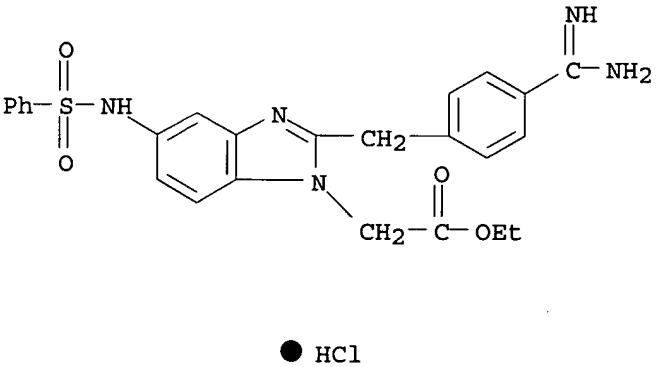


RN 237752-12-4 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-[2-(dimethylamino)ethyl]-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



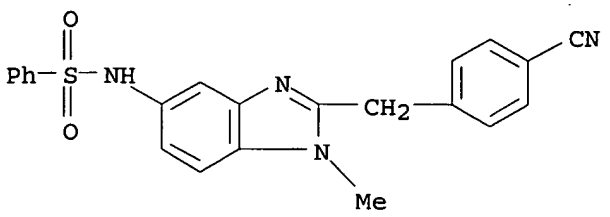
IT 236414-44-1P 236417-29-1P 236417-38-2P
236418-28-3P 237750-36-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines)

RN 236414-44-1 HCAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

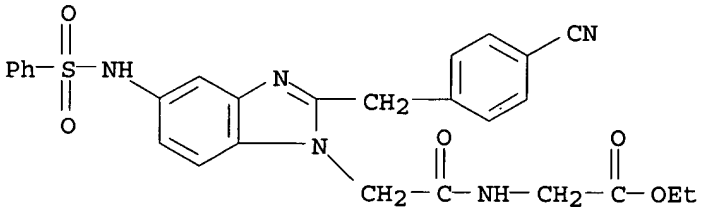


● HCl

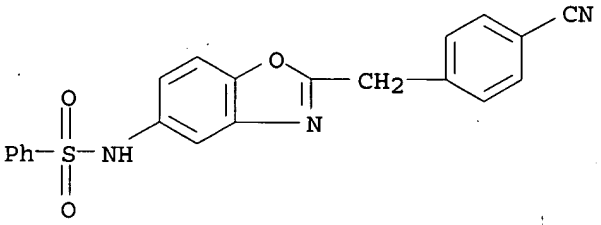
RN 236417-29-1 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-1-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)



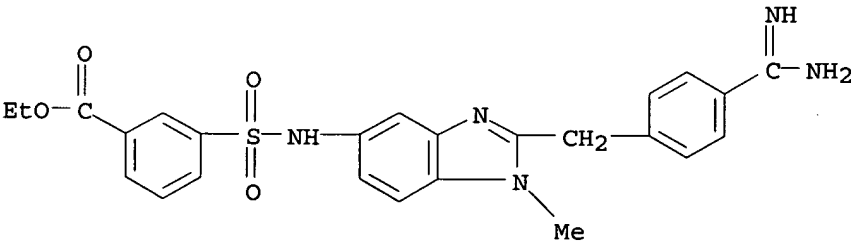
RN 236417-38-2 HCAPLUS
CN Glycine, N-[[2-[(4-cyanophenyl)methyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-1-yl]acetyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 236418-28-3 HCAPLUS
CN Benzenesulfonamide, N-[2-[(4-cyanophenyl)methyl]-5-benzoxazolyl]- (9CI) (CA INDEX NAME)



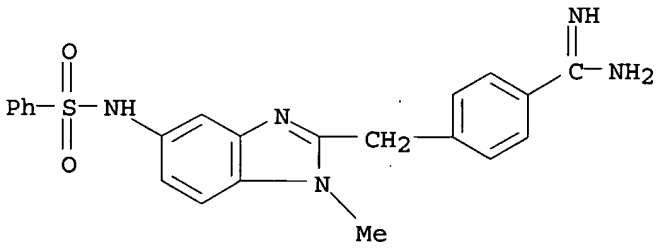
RN 237750-36-6 HCAPLUS
CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

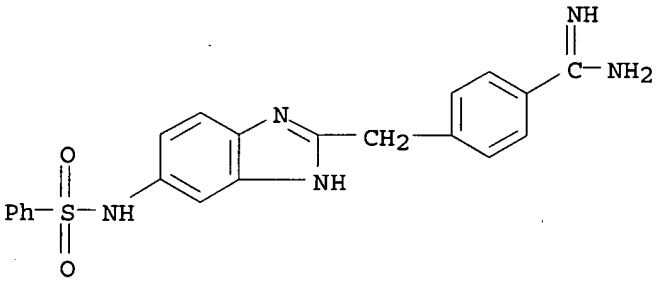
IT 236414-28-1P 236414-29-2P 236414-31-6P
236414-32-7P 236414-34-9P 236414-36-1P
236414-40-7P 236414-45-2P 236414-55-4P
236414-56-5P 236414-57-6P 236414-63-4P
236414-87-2P 236415-07-9P 236415-08-0P
236415-09-1P 236415-10-4P 236415-53-5P
236416-84-5P 237750-37-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antithrombotic activity of benzimidazolylmethylbenzamidines
)

RN 236414-28-1 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



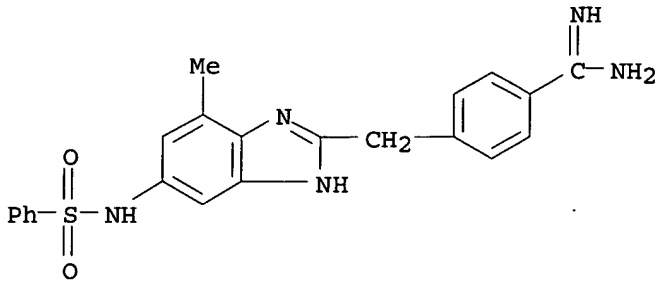
● HCl

RN 236414-29-2 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



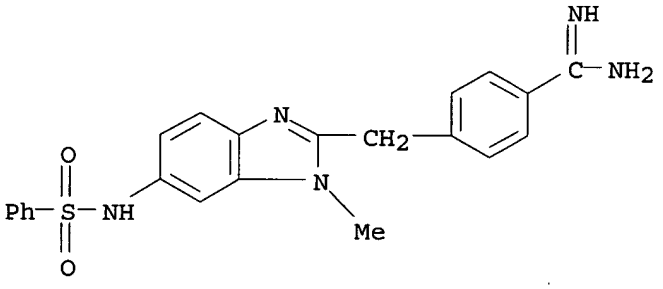
● HCl

RN 236414-31-6 HCAPLUS
CN Benzenecarboximidamide, 4-[[4-methyl-6-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



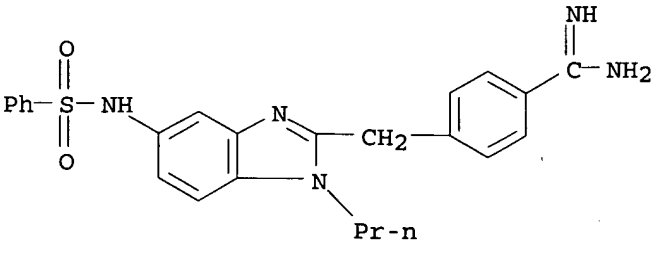
● HCl

RN 236414-32-7 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-6-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

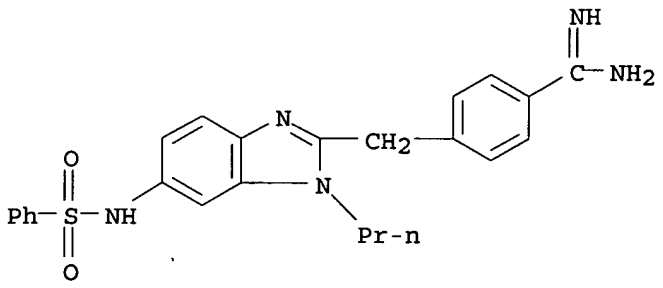
RN 236414-34-9 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-1-propyl-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

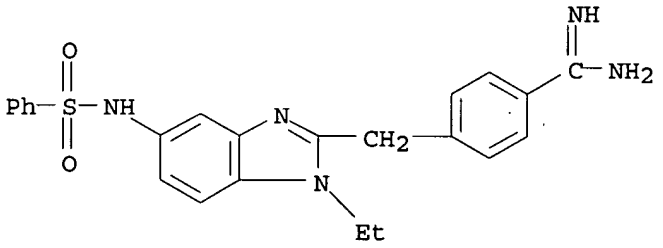
RN 236414-36-1 HCAPLUS
CN Benzenecarboximidamide, 4-[[6-[(phenylsulfonyl)amino]-1-propyl-1H-

benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



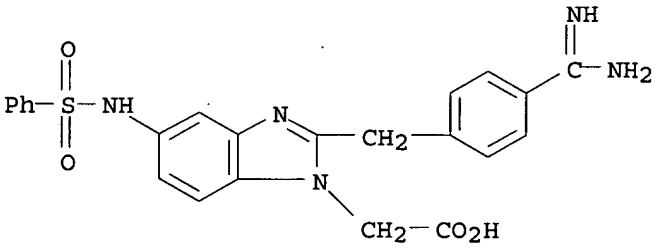
● HCl

RN 236414-40-7 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-ethyl-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

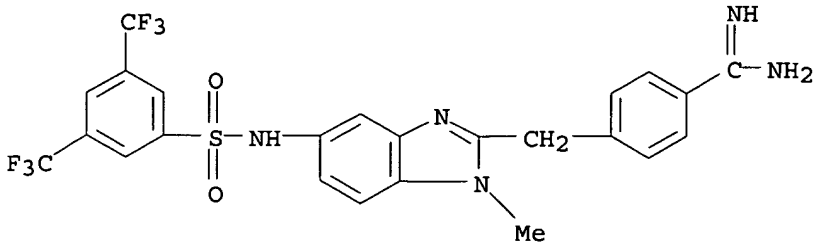


● HCl

RN 236414-45-2 HCAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

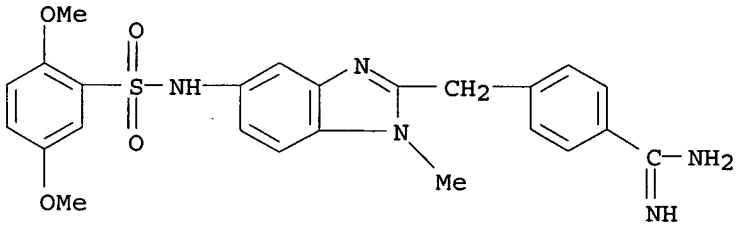


RN 236414-55-4 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



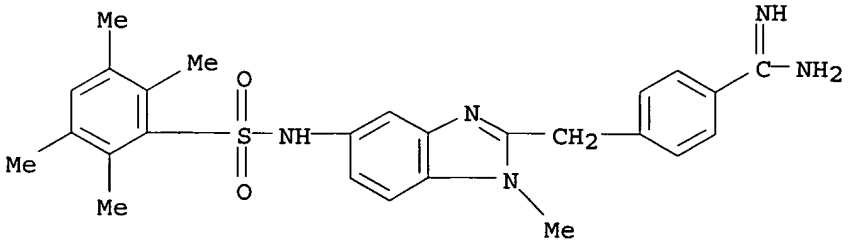
● HCl

RN 236414-56-5 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[[(2,5-dimethoxyphenyl)sulfonyl]amino]-1-methyl-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



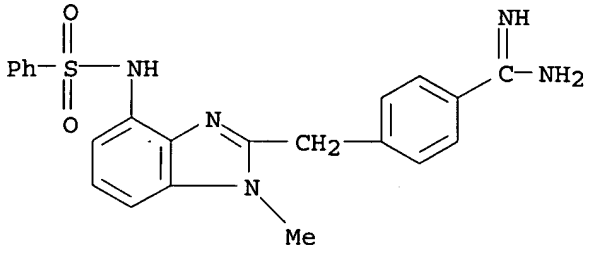
● HCl

RN 236414-57-6 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-5-[[(2,3,5,6-tetramethylphenyl)sulfonyl]amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



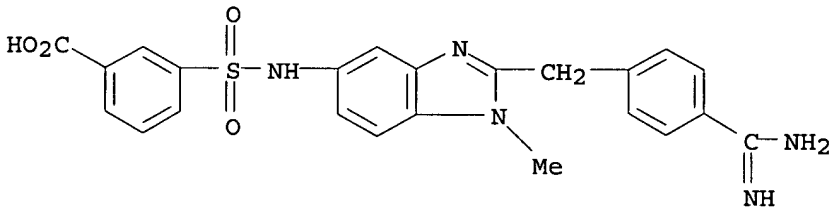
● HCl

RN 236414-63-4 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-methyl-4-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



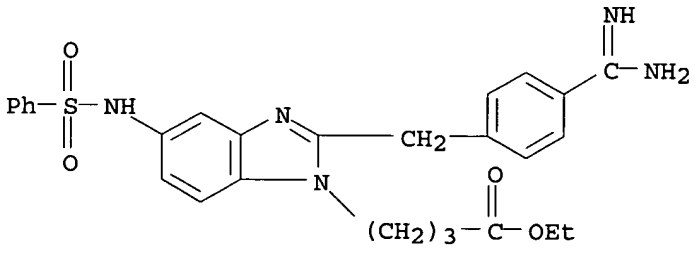
● HCl

RN 236414-87-2 HCAPLUS
CN Benzoic acid, 3-[[[2-[[4-(aminoiminomethyl)phenyl]methyl]-1-methyl-1H-benzimidazol-5-yl]amino]sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

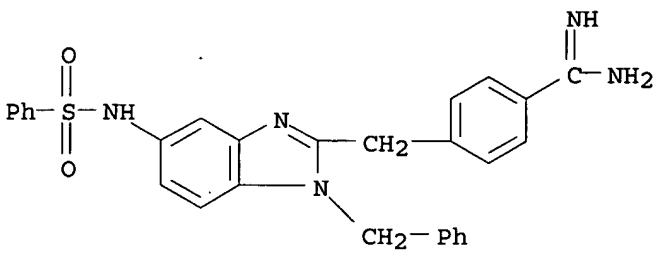
RN 236415-07-9 HCAPLUS
CN 1H-Benzimidazole-1-butanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

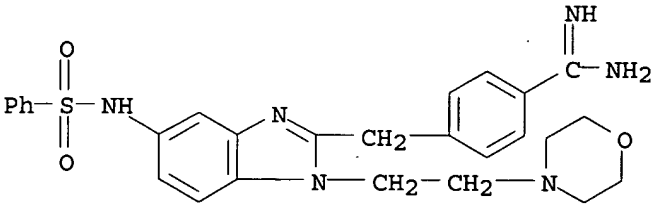
RN 236415-08-0 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-(phenylmethyl)-5-[(phenylsulfonyl)amino]-1H-

benzimidazol-2-yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



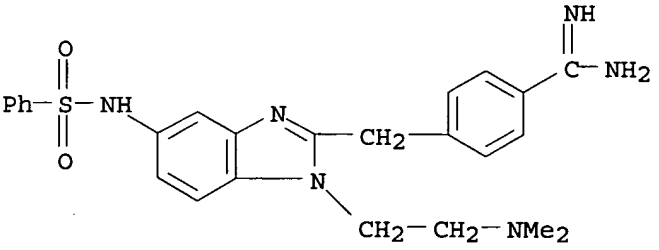
● HCl

RN 236415-09-1 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-[2-(4-morpholinyl)ethyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

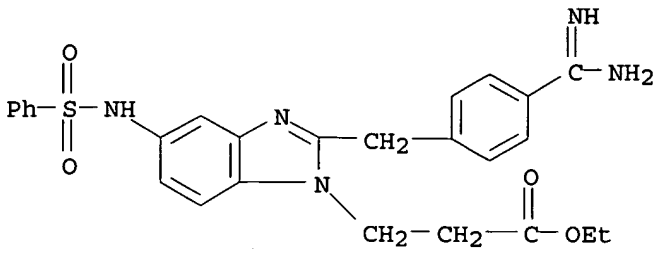
RN 236415-10-4 HCAPLUS
CN Benzenecarboximidamide, 4-[[1-[2-(dimethylamino)ethyl]-5-[(phenylsulfonyl)amino]-1H-benzimidazol-2-yl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

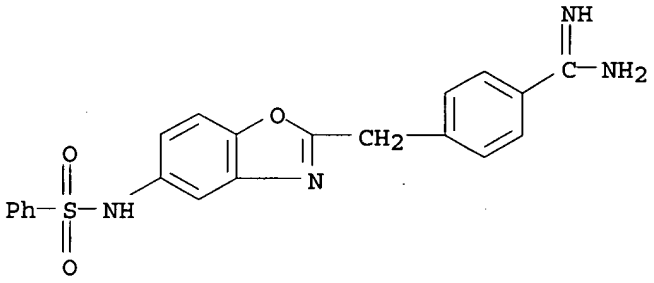
RN 236415-53-5 HCAPLUS

CN 1H-Benzimidazole-1-propanoic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-5-[(phenylsulfonyl)amino]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



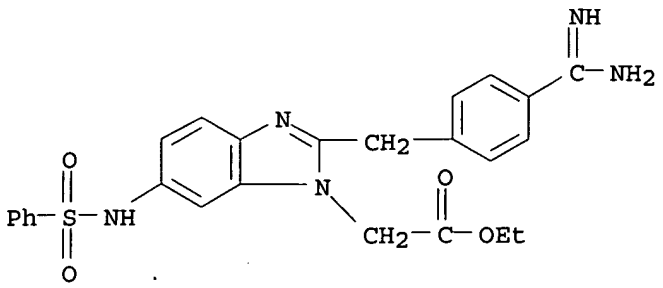
● HCl

RN 236416-84-5 HCAPLUS
CN Benzenecarboximidamide, 4-[[5-[(phenylsulfonyl)amino]-2-benzoxazolyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 237750-37-7 HCAPLUS
CN 1H-Benzimidazole-1-acetic acid, 2-[[4-(aminoiminomethyl)phenyl]methyl]-6-[(phenylsulfonyl)amino]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



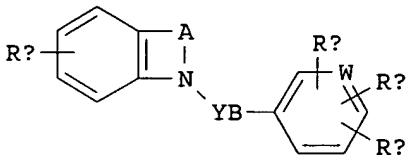
●2 HCl

L15 ANSWER 15 OF 39 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:35065 HCAPLUS
DOCUMENT NUMBER: 130:110166
TITLE: Preparation of amidinophenylpropionyltetrahydroquinolines and related compounds as antithrombotics.
INVENTOR(S): Heckel, Armin; Soyka, Rainer; Grell, Wolfgang; Haaksma, Eric; Binder, Klaus; Zimmermann, Rainer
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 50 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19727117	A1	19990107	DE 1997-19727117	19970626 <--
CA 2288744	A1	19990107	CA 1998-2288744	19980622 <--
WO 9900371	A1	19990107	WO 1998-EP3800	19980622 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9887279	A	19990119	AU 1998-87279	19980622 <--
EP 991624	A1	20000412	EP 1998-938621	19980622 <--
EP 991624	B1	20031119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002511088	T	20020409	JP 1999-505265	19980622 <--
AT 254602	T	20031215	AT 1998-938621	19980622
MX 9911261	A	20000630	MX 1999-11261	19991206 <--
US 6300342	B1	20011009	US 1999-457961	19991209 <--

PRIORITY APPLN. INFO.: DE 1997-19727117 A 19970626
WO 1998-EP3800 W 19980622

OTHER SOURCE(S): MARPAT 130:110166
GI



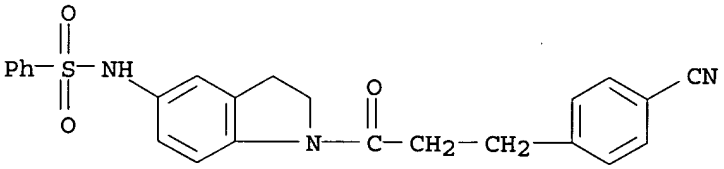
I

AB Title compds. [I; Ra = H, NO2, amino, aminocarbonyl; Rb = cyano, aminomethyl, (substituted) amidino; Rc, Rd = H, F, Cl, Br, iodo, Me, MeO, NO2, amino; A = (substituted) ethylene, ethyenylene, propylene, etc.; B = bond, (substituted) methylene, ethylene, ethenylene, propylene, etc.; W = N, CH; Y = CH2, CO, CS], were prepared Thus, 1-[3-(4-amidinophenyl)propionyl]-1,2,3,4-tetrahydroquinoline-6-carboxylic acid methyl-N-phenylamide (preparation given) had a thrombin time ED200 = 0.02 μM.

IT 219643-32-0P 219644-16-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylpropionyltetrahydroquinolines and related compds. as antithrombotics)

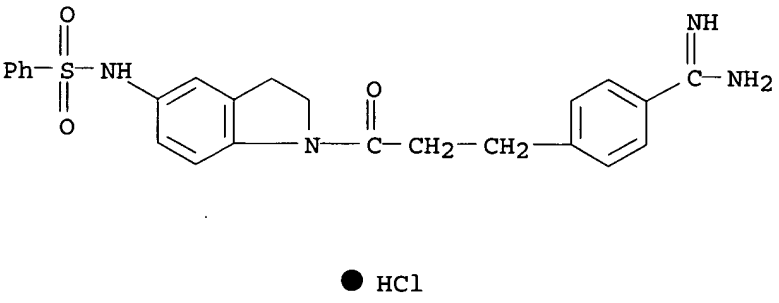
RN 219643-32-0 HCAPLUS

CN 1H-Indol-5-amine, 1-[3-(4-cyanophenyl)-1-oxopropyl]-2,3-dihydro-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 219644-16-3 HCAPLUS

CN 1H-Indol-5-amine, 1-[3-[4-(aminoiminomethyl)phenyl]-1-oxopropyl]-2,3-dihydro-N-(phenylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

=> log y
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION

FULL ESTIMATED COST	136.81	700.96
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.94	-20.28

STN INTERNATIONAL LOGOFF AT 12:58:14 ON 13 MAR 2007